EAST 10/088,852

L Number	Hits	Search Text	DB	Time stamp
1	1050	((514/266.4) or (514/228.2) or (514/234.8) or (514/252.17)).CCLS.	USPAT; US-PGPUB	2004/03/16 19:12
2	955	((544/58.6) or (544/116) or (544/293)).CCLS.	USPAT; US-PGPUB	2004/03/16 19:12
3	1767	(((514/266.4) or (514/228.2) or (514/234.8) or (514/252.17)).CCLS.) or (((544/58.6) or (544/116) or (544/293)).CCLS.)	USPAT; US-PGPUB	2004/03/16 19:12
4	554	((((514/266.4) or (514/228.2) or (514/234.8) or (514/252.17)).CCLS.) or (((544/58.6) or (544/116) or (544/293)).CCLS.)) and (anilino or propenoic or propenamide or ethenyl or vinyl)	USPAT; US-PGPUB	2004/03/16 19:15

10/ 088,852

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NEWS 2		"Ask CAS" for self-help around the clock
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NEWS 4	DEC 08	INPADOC: Legal Status data reloaded
NEWS 5	SEP 29	DISSABS now available on STN
NEWS 6	OCT 10	PCTFULL: Two new display fields added
NEWS 7	OCT 21	BIOSIS file reloaded and enhanced
NEWS 8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9	NOV 24	MSDS-CCOHS file reloaded
NEWS 10	DEC 08	CABA reloaded with left truncation
NEWS 11	DEC 08	IMS file names changed
NEWS 12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 14	DEC 17	DGENE: Two new display fields added
NEWS 15	DEC 18	BIOTECHNO no longer updated
NEWS 16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 22	FEB 05	German (DE) application and patent publication number format changes
NEWS 23	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 24	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 25	MAR 03	FRANCEPAT now available on STN

NEWS EXPRESS	MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 17:56:44 ON 16 MAR 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:56:55 ON 16 MAR 2004

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9
DICTIONARY FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Experimental and calculated property data are now available. For more
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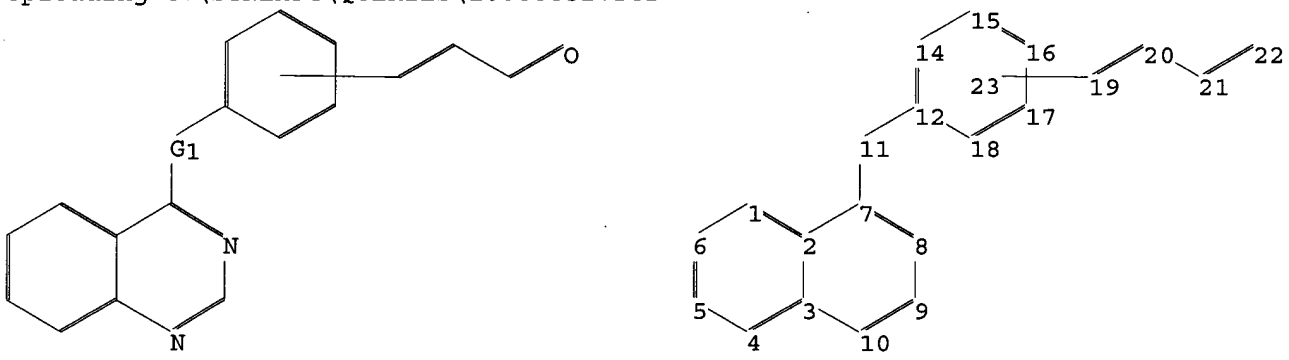


chain nodes :
11 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 14 15 16 17 18
chain bonds :
7-11 11-12 19-20 20-21 21-22
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-14 12-18 14-15 15-16
16-17 17-18
exact/norm bonds :
7-11 11-12 21-22

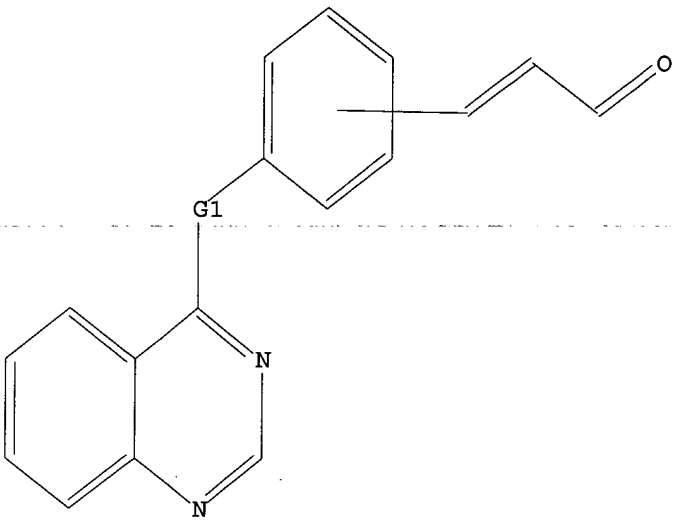
exact bonds :
19-20 20-21
normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-14 12-18 14-15 15-16
16-17 17-18
isolated ring systems :
containing 1 : 12 :

G1:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS
12:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 17:57:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17949 TO ITERATE

100.0% PROCESSED 17949 ITERATIONS 83 ANSWERS
SEARCH TIME: 00.00.01

L2 83 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 17:57:20 ON 16 MAR 2004

FILE COVERS 1907 - 16 Mar 2004 VOL 140 ISS 12
FILE LAST UPDATED: 15 Mar 2004 (20040315/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 5 L2

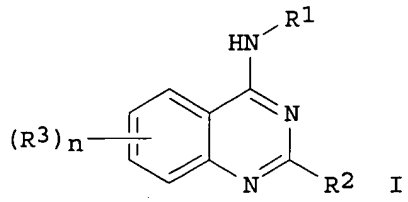
=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120821 CAPLUS
DOCUMENT NUMBER: 140:163886
TITLE: Preparation of 4-anilino substituted quinazolines as inhibitors of epidermal growth factor receptor kinases
INVENTOR(S): Gazit, Aviv; Levitzki, Alexander
PATENT ASSIGNEE(S): Yissum Research Development Company of the Hebrew University of Jerusalem, Israel
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013091	A2	20040212	WO 2003-IL632	20030731

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-399736P P 20020801
OTHER SOURCE(S): MARPAT 140:163886
GI



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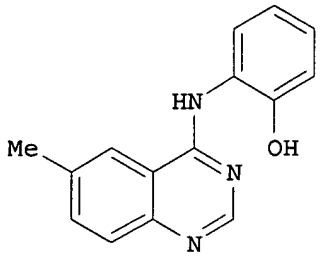


II

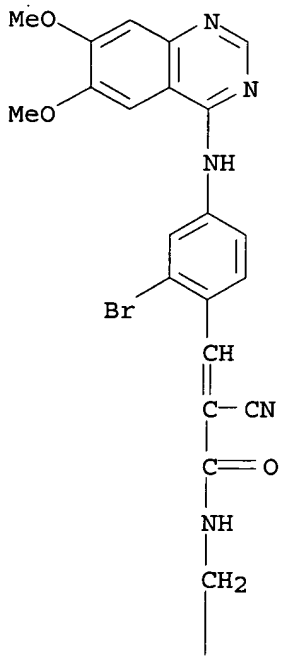
AB Title compds. I [R1 = (un)substituted Ph, naphthyl, etc.; R2 = H, halo, phenylamino, etc.; R3 = H, alkoxy, NO2, etc.; n = 1-3] are prepared For instance, 4-chloro-6-methylquinazoline is reacted with 2-aminophenol (EtOH, reflux, 1 h) to give II. I are potent inhibitors of protein tyrosine (PTK) kinase activity, particularly epidermal growth factor receptor (EGFR) kinase activity. I are useful in treating a variety of PTK related disorders such as cell proliferative disorders, fibrotic disorders, metabolic disorders and cancer.

IT **655248-61-6P**, 3-[2-Bromo-4-((6,7-dimethoxyquinazoline-4-yl)amino)phenyl]-2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]acrylamide **655248-62-7P**, N-Benzyl-3-[2-bromo-4-((6,7-dimethoxyquinazolin-4-yl)amino)phenyl]-2-cyanoacrylamide **655248-63-8P**, 3-[2-Bromo-4-((6,7-dimethoxyquinazolin-4-yl)amino)phenyl]-2-cyano-N-(4-phenylbutyl)acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-anilino substituted quinazolines as inhibitors of epidermal growth factor receptor kinases)

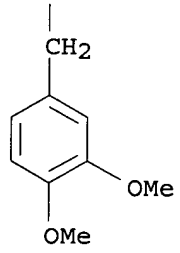
RN 655248-61-6 CAPLUS

CN 2-Propenamide, 3-[2-bromo-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-2-cyano-N-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

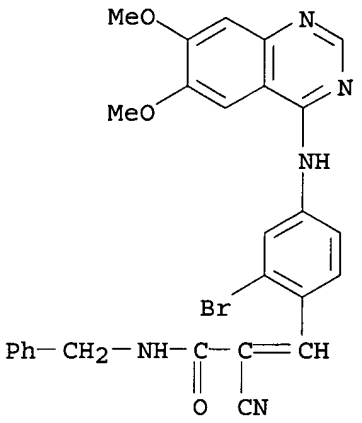
PAGE 1-A



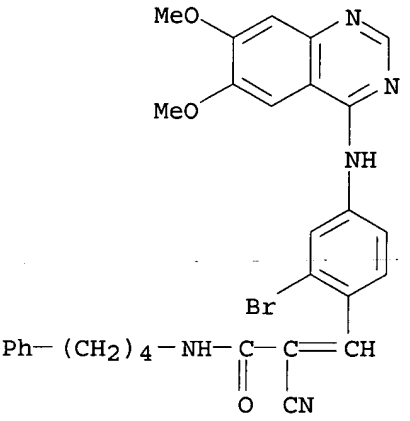
PAGE 2-A



RN 655248-62-7 CAPLUS
CN 2-Propenamide, 3-[2-bromo-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-2-cyano-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 655248-63-8 CAPLUS
CN 2-Propenamide, 3-[2-bromo-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-2-cyano-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

D. 1. G.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
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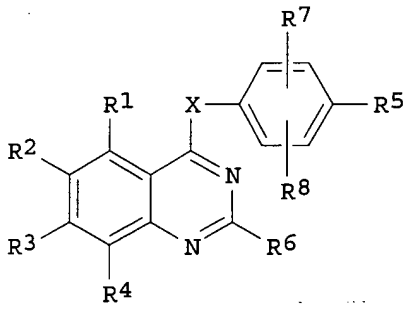
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320

PRIORITY APPLN. INFO.: GB 1999-22154 A 19990921
GB 1999-22170 A 19990921
WO 2000-GB3580 W 20000918

OTHER SOURCE(S): MARPAT 134:266317

GI



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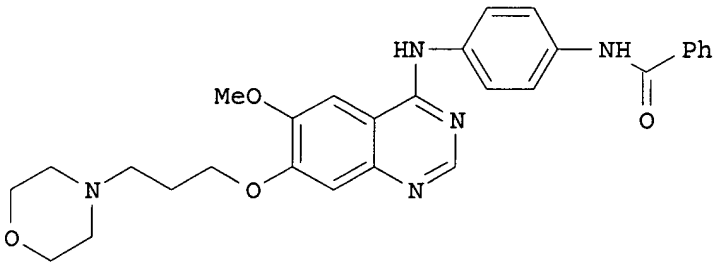


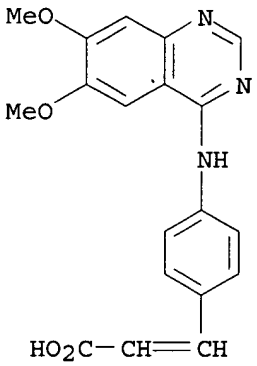
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-

morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT **331776-88-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331776-88-6 CAPLUS
CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228865 CAPLUS
DOCUMENT NUMBER: 134:266316
TITLE: Preparation of quinazoline derivatives, method of preparation and use in inhibiting aurora 2 kinase
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

10/ 088,852

BR 2000014136	A	20020521	BR 2000-14136	20000918	
EP 1218357	A1	20020703	EP 2000-962682	20000918	
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JP 2003509498	T2	20030311	JP 2001-524974	20000918	
EE 200200148	A	20030415	EE 2002-148	20000918	
ZA 2002001831	A	20030605	ZA 2002-1831	20020305	
NO 2002001395	A	20020515	NO 2002-1395	20020320	
BG 106535	A	20021229	BG 2002-106535	20020320	
PRIORITY APPLN. INFO.:			GB 1999-22173	A	19990921
			WO 2000-GB3562	W	20000918

OTHER SOURCE(S): MARPAT 134:266316
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

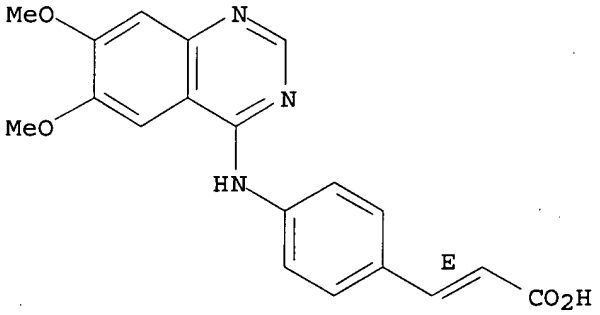
AB I or a salt, ester, amide or prodrug thereof, a method for the preparation of I and the use of the claimed compds. for inhibiting aurora 2 kinase are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)2 or NR10 where R10 is H or C1-6 alkyl. R5 is OR11, NR12R13 or SR11 where R11, R12 and R13 are independently optionally substituted hydrocarbyl or optionally substituted heterocyclic groups, and R12 and R13 may addnl. form together with the N atom to which they are attached, an optionally substituted aromatic or nonarom. heterocyclic ring which may contain further heteroatoms. R6 and R7 are independently H or hydrocarbyl. R8 and R9 are independently H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxymethyl, di(C1-4alkoxy)methyl, C1-4 alkanoyl, trifluoromethyl, cyano, amino, C2-5 alkenyl, C2-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or nonarom. and may be saturated (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C2-4 alkanoyl, C1-4 alkanoylamino, C1-4 alkoxycarbonyl, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, carbamoyl, N-C1-4alkylcarbamoyl, N,N-di(C1-4alkyl)carbamoyl, aminosulfonyl, N-C1-4alkylaminosulfonyl, N,N-di(C1-4alkyl)aminosulfonyl, C1-4 alkylsulfonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxycarbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for preparing I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention inhibit the serine/threonine kinase activity of the aurora 2 kinase and thus inhibit the cell cycle and cell proliferation. Procedures for assessing these

properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-dimethoxyquinazoline.

IT **331734-29-3P**, (E)-4-[4-(2-Carboxyethenyl)anilino]-6,7-dimethoxyquinazoline **331734-31-7P**, (E)-4-[4-(2-Carboxyethenyl)anilino]-6-methoxy-7-(2,2,2-trifluoroethoxy)quinazoline hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazoline derivs., method of preparation and use in inhibiting aurora 2 kinase)

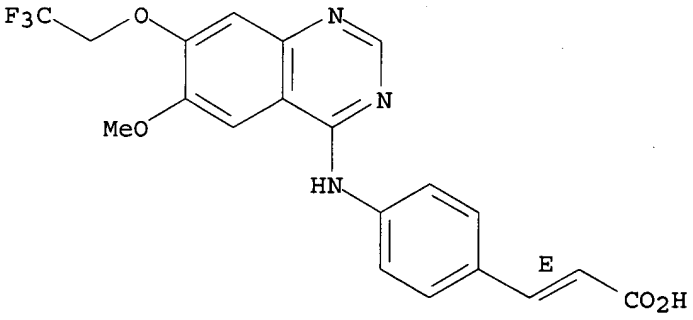
RN 331734-29-3 CAPLUS
CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331734-31-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, hydrochloride, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

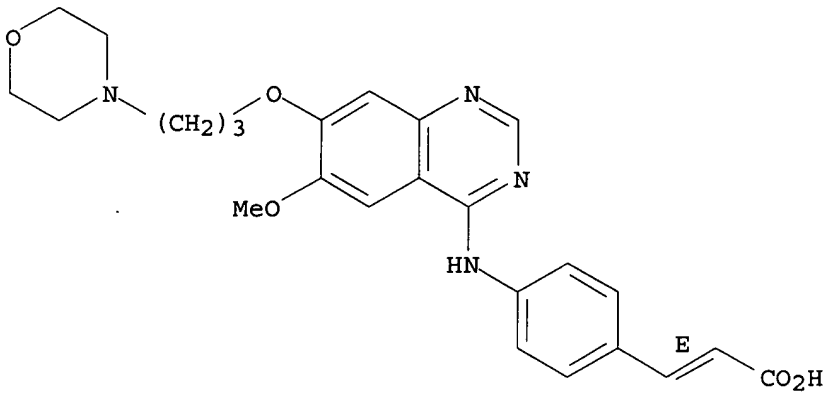


●x HCl

IT **331733-89-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs., method of preparation and use in inhibiting aurora 2 kinase)

RN 331733-89-2 CAPLUS
CN 2-Propenoic acid, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

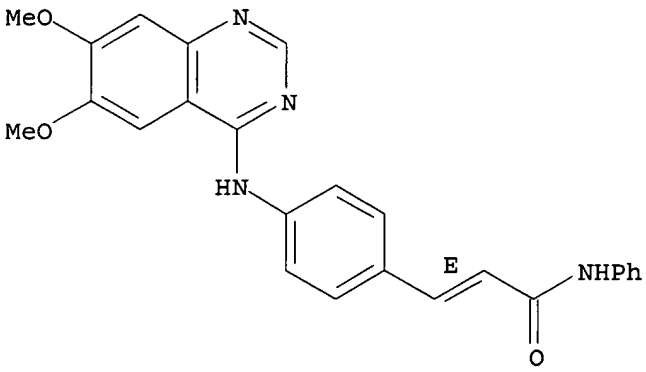


IT **331733-38-1P 331733-40-5P 331733-41-6P**
331733-43-8P 331733-44-9P 331733-46-1P
331733-48-3P 331733-50-7P 331733-52-9P
331733-53-0P 331733-55-2P 331733-57-4P
331733-59-6P 331733-61-0P 331733-64-3P
331733-68-7P 331733-71-2P 331733-75-6P
331733-77-8P 331733-79-0P 331733-80-3P
331733-81-4P 331733-82-5P 331733-83-6P
331733-84-7P 331733-85-8P 331733-86-9P
331733-87-0P 331733-88-1P 331733-90-5P
331733-91-6P 331733-92-7P 331733-93-8P
331733-94-9P 331733-95-0P 331733-96-1P
331733-97-2P 331733-98-3P 331733-99-4P
331734-00-0P 331734-01-1P 331734-02-2P
331734-03-3P 331734-04-4P 331734-05-5P
331734-06-6P 331734-07-7P 331734-08-8P
331734-09-9P 331734-10-2P 331734-11-3P
331734-12-4P 331734-13-5P 331734-14-6P
331734-15-7P 331734-16-8P 331734-17-9P
331734-19-1P 331734-20-4P 331734-21-5P
331734-22-6P 331734-23-7P 331734-24-8P
331734-25-9P 331734-26-0P 331734-27-1P,
(E)-4-[4-(2-Carboethoxyethenyl)anilino]-6,7-dimethoxyquinazoline
331734-28-2P, (E)-4-[4-(2-Carboethoxyethenyl)phenoxy]-6,7-dimethoxyquinazoline
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs., method of preparation and use in inhibiting aurora 2 kinase)

RN 331733-38-1 CAPLUS

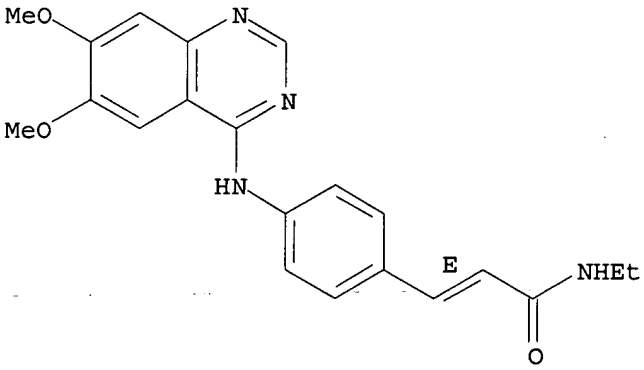
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



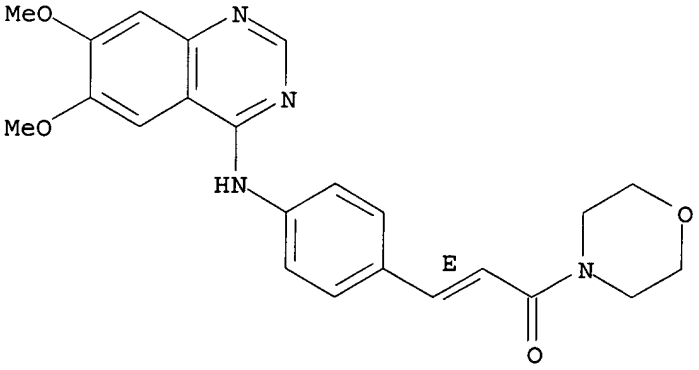
RN 331733-40-5 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-ethyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



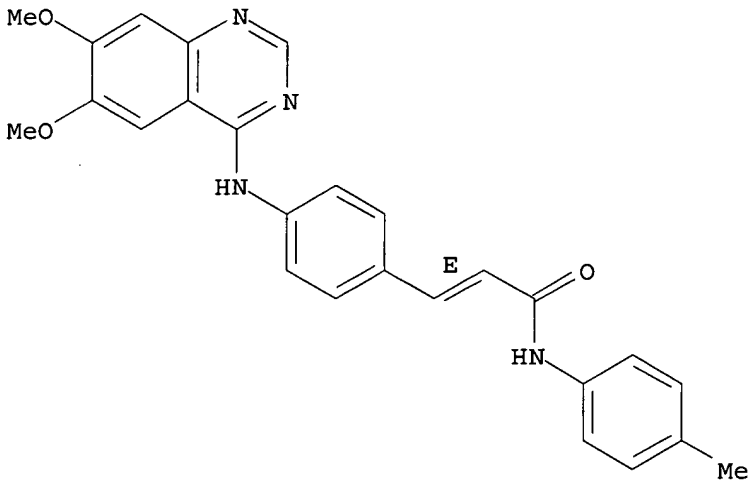
RN 331733-41-6 CAPLUS
CN Morpholine, 4-[(2E)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



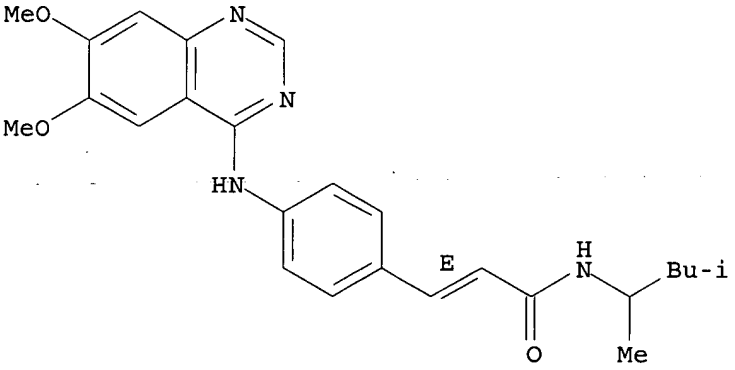
RN 331733-43-8 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(4-methylphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



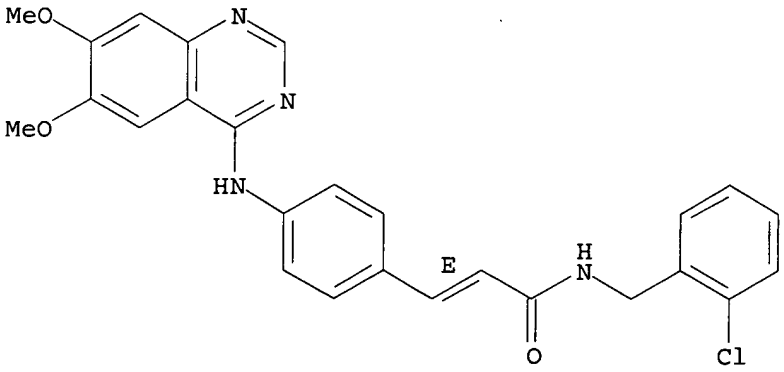
RN 331733-44-9 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(1,3-dimethylbutyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331733-46-1 CAPLUS
CN 2-Propenamide, N-[(2-chlorophenyl)methyl]-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

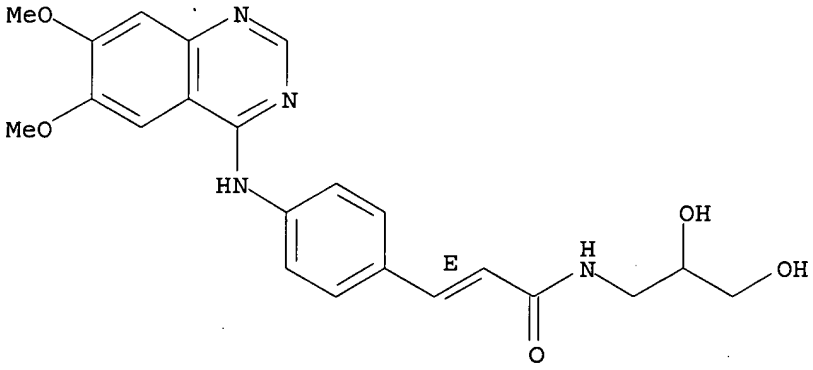
Double bond geometry as shown.



RN 331733-48-3 CAPLUS

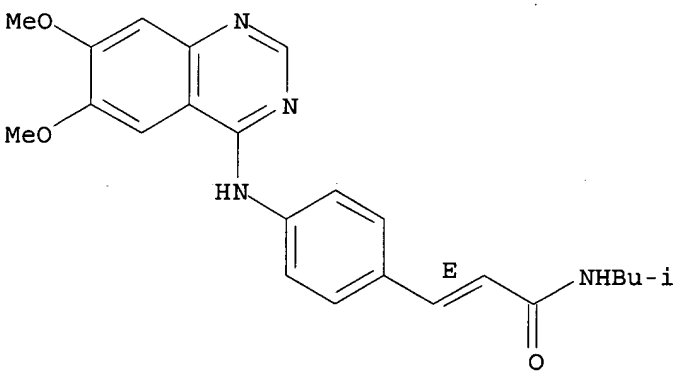
CN 2-Propenamide, N-(2,3-dihydroxypropyl)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



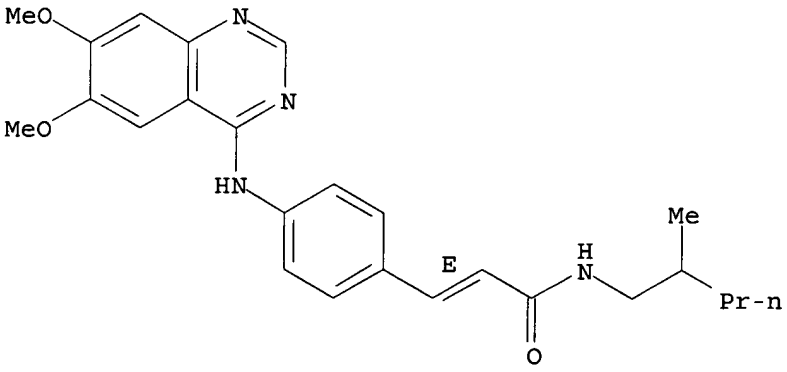
RN 331733-50-7 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methylpropyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331733-52-9 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methylpentyl)-, (2E)- (9CI) (CA INDEX NAME)

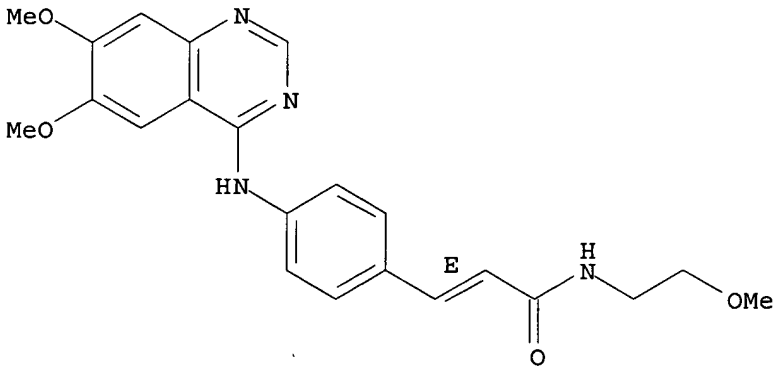
Double bond geometry as shown.



RN 331733-53-0 CAPLUS

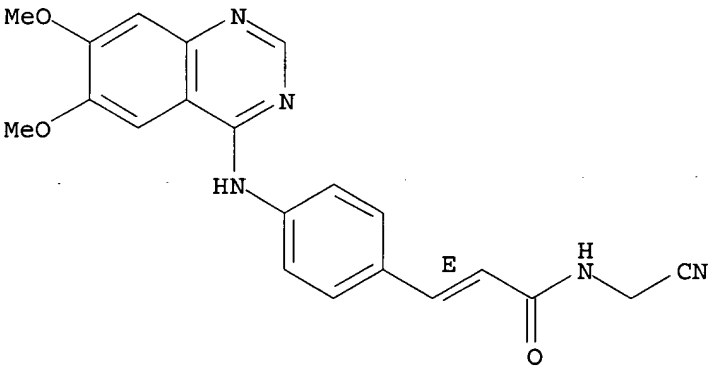
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methoxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



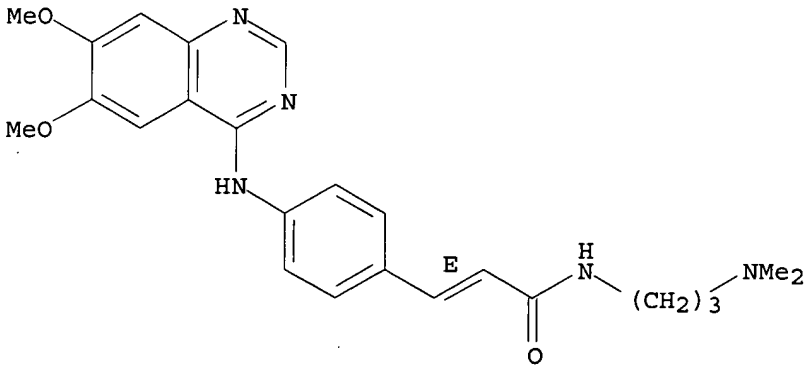
RN 331733-55-2 CAPLUS
CN 2-Propenamide, N-(cyanomethyl)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331733-57-4 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-[3-(dimethylamino)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331733-59-6 CAPLUS

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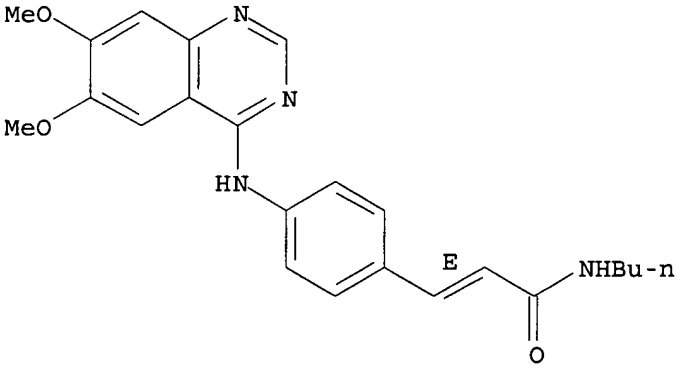
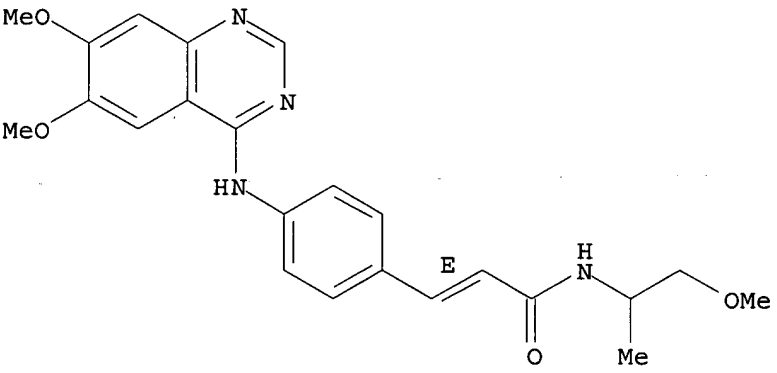
CN 2-Propenamide, N-butyl-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



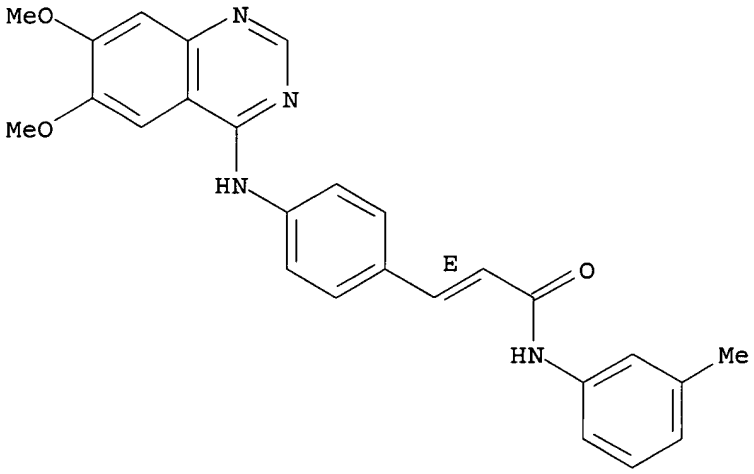
RN 331733-61-0 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methoxy-1-methylethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



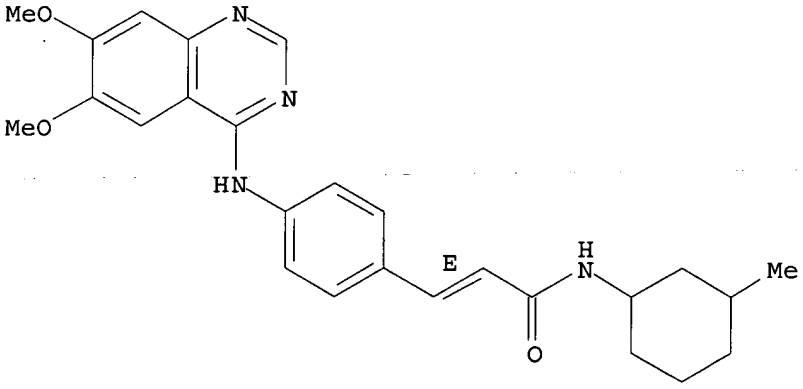
RN 331733-64-3 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(3-methylphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



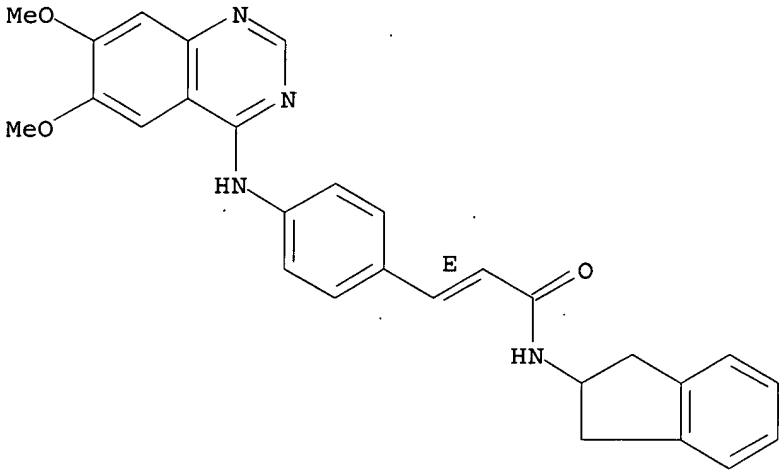
RN 331733-68-7 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(3-methylcyclohexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



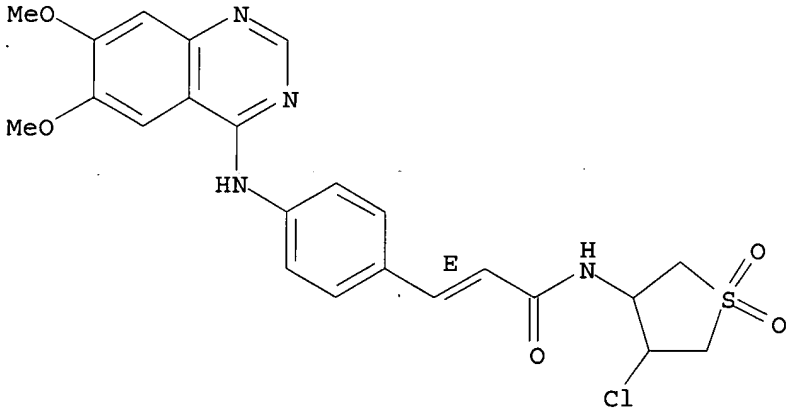
RN 331733-71-2 CAPLUS
CN 2-Propenamide, N-(2,3-dihydro-1H-inden-2-yl)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



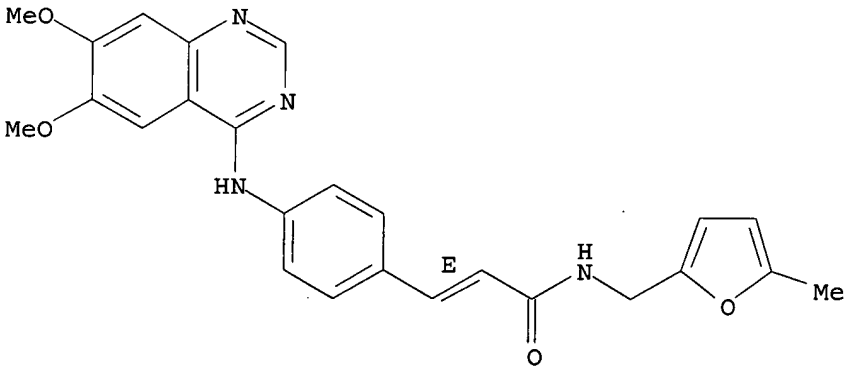
RN 331733-75-6 CAPLUS
CN 2-Propenamide, N-(4-chlorotetrahydro-1,1-dioxido-3-thienyl)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



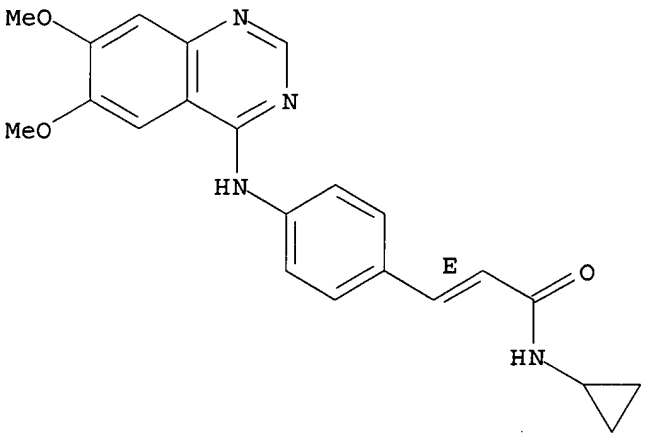
RN 331733-77-8 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-[(5-methyl-2-furanyl)methyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



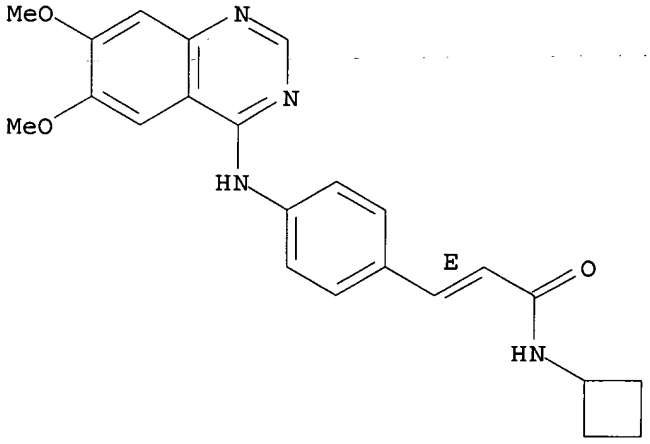
RN 331733-79-0 CAPLUS
CN 2-Propenamide, N-cyclopropyl-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



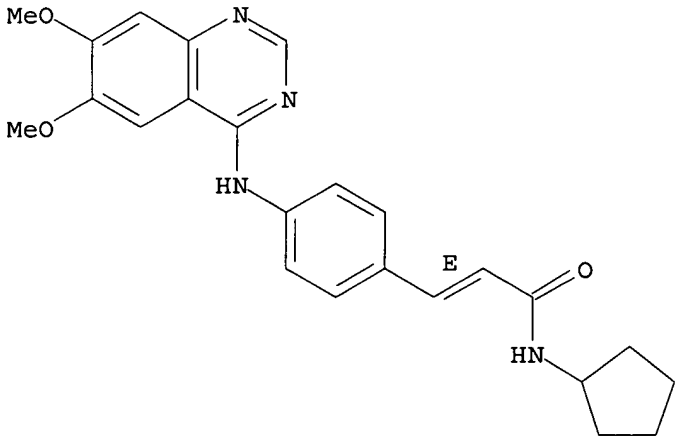
RN 331733-80-3 CAPLUS
CN 2-Propenamide, N-cyclobutyl-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



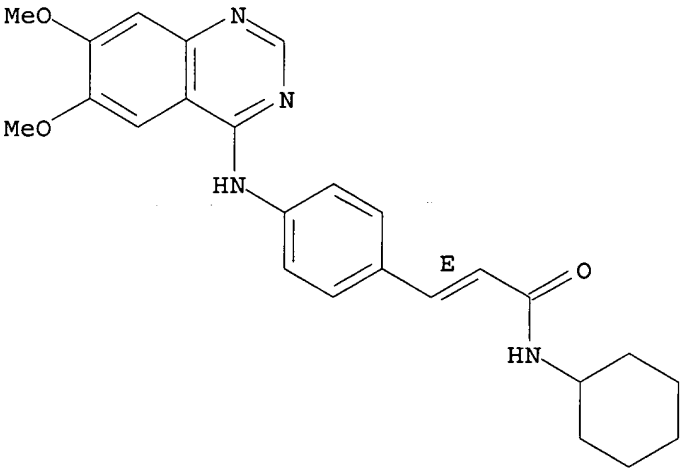
RN 331733-81-4 CAPLUS
CN 2-Propenamide, N-cyclopentyl-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



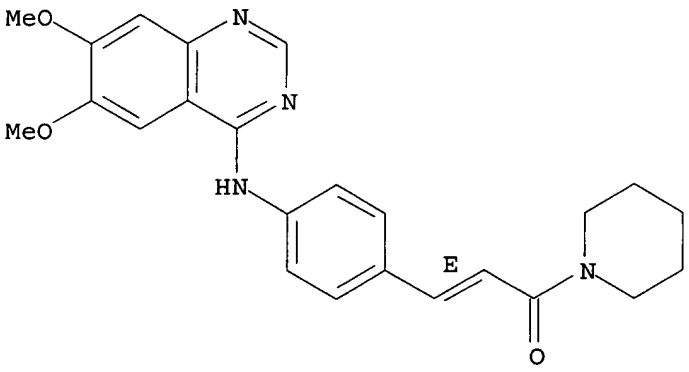
RN 331733-82-5 CAPLUS
CN 2-Propenamide, N-cyclohexyl-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



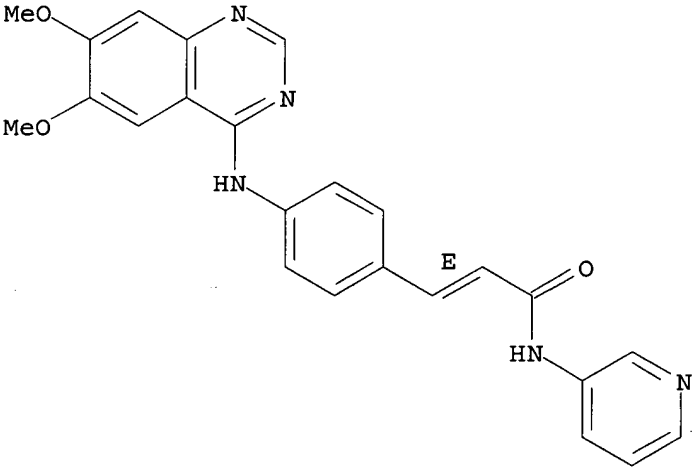
RN 331733-83-6 CAPLUS
CN Piperidine, 1-[(2E)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



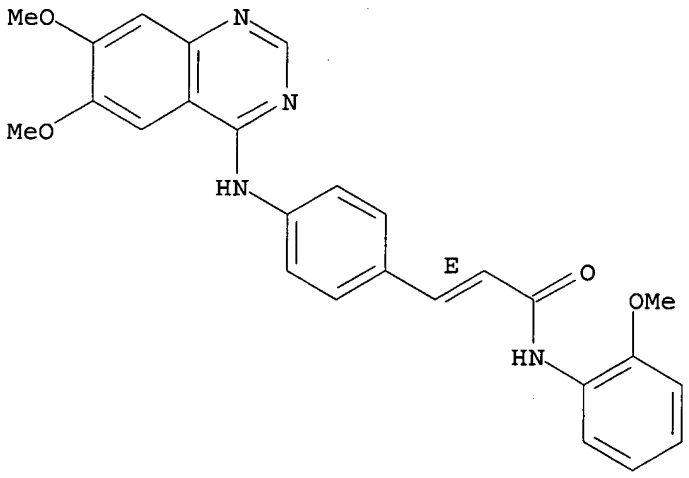
RN 331733-84-7 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-3-pyridinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



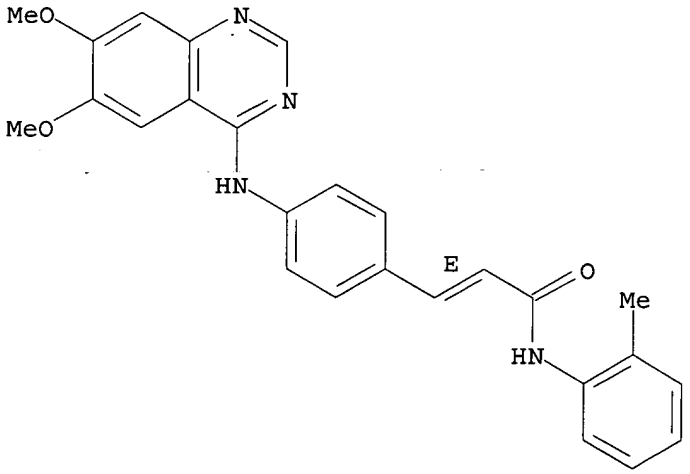
RN 331733-85-8 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



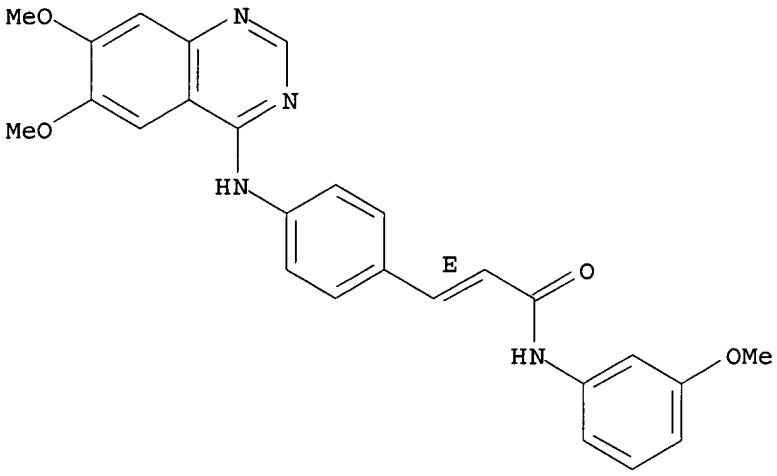
RN 331733-86-9 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(2-methylphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



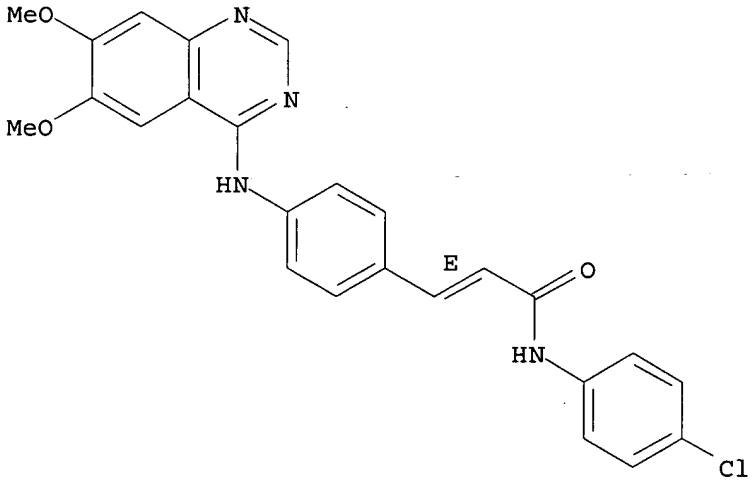
RN 331733-87-0 CAPLUS
CN 2-Propenamide, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-N-(3-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



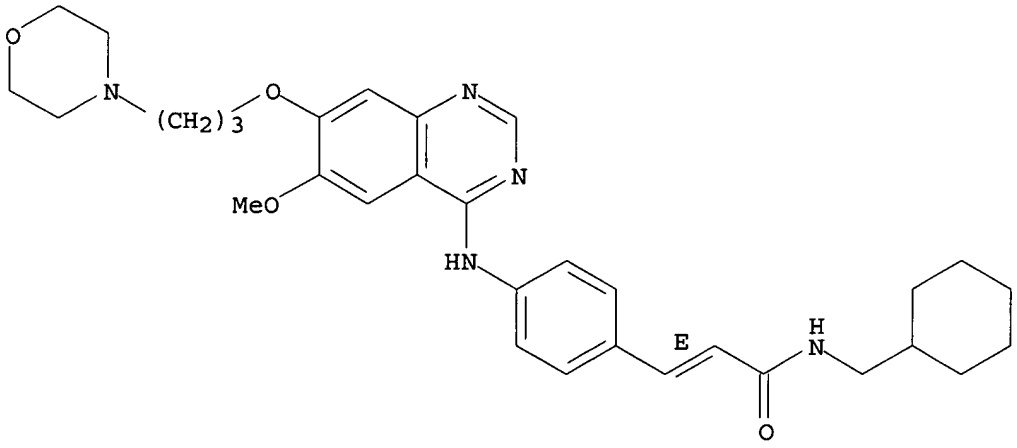
RN 331733-88-1 CAPLUS
CN 2-Propenamide, N-(4-chlorophenyl)-3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



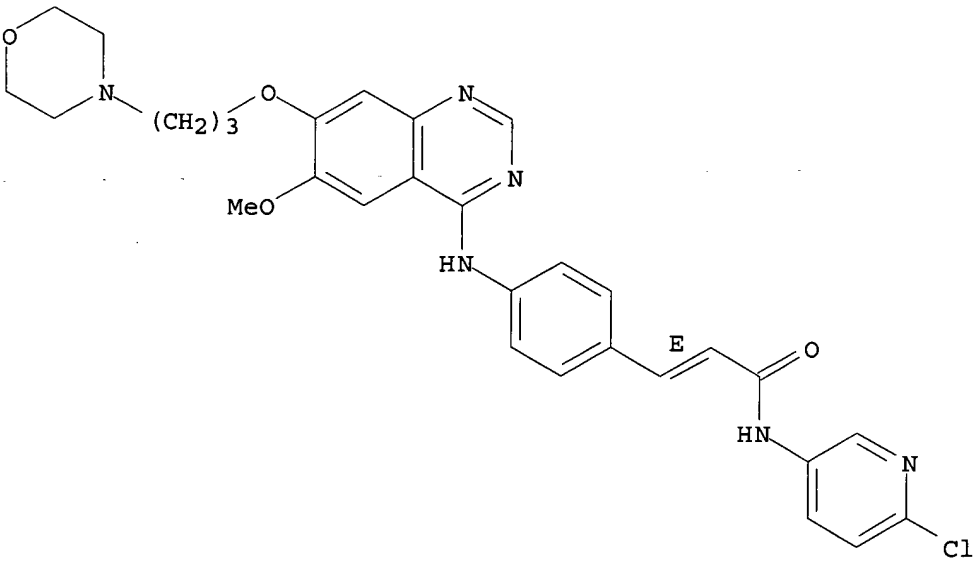
RN 331733-90-5 CAPLUS
CN 2-Propenamide, N-(cyclohexylmethyl)-3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



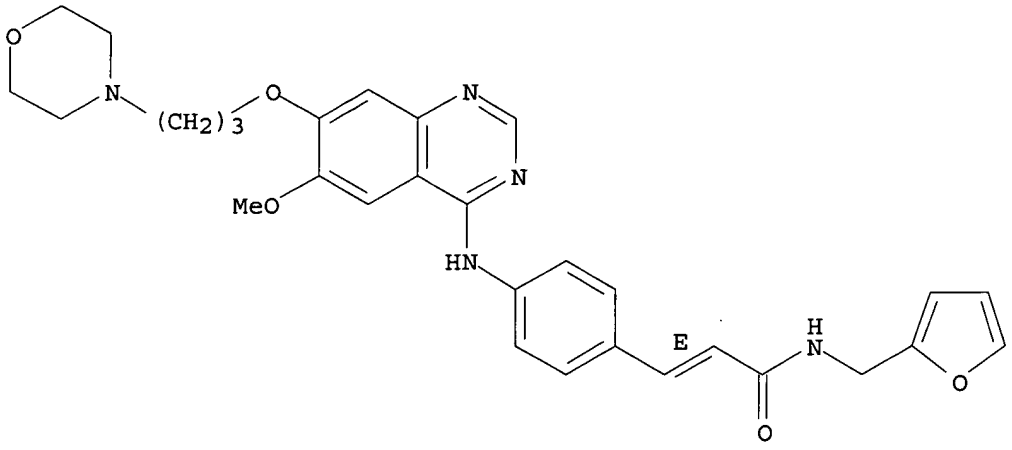
RN 331733-91-6 CAPLUS
CN 2-Propenamide, N-(6-chloro-3-pyridinyl)-3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



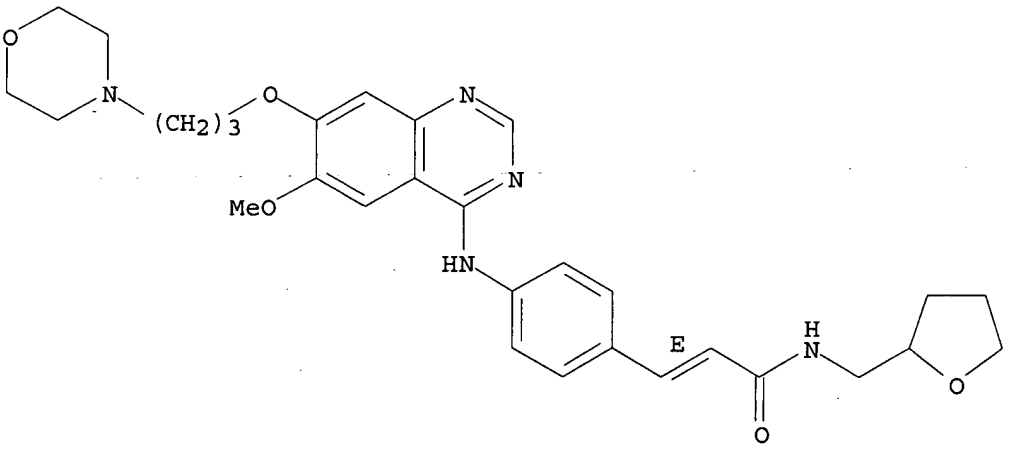
RN 331733-92-7 CAPLUS
CN 2-Propenamide, N-(2-furanylmethyl)-3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



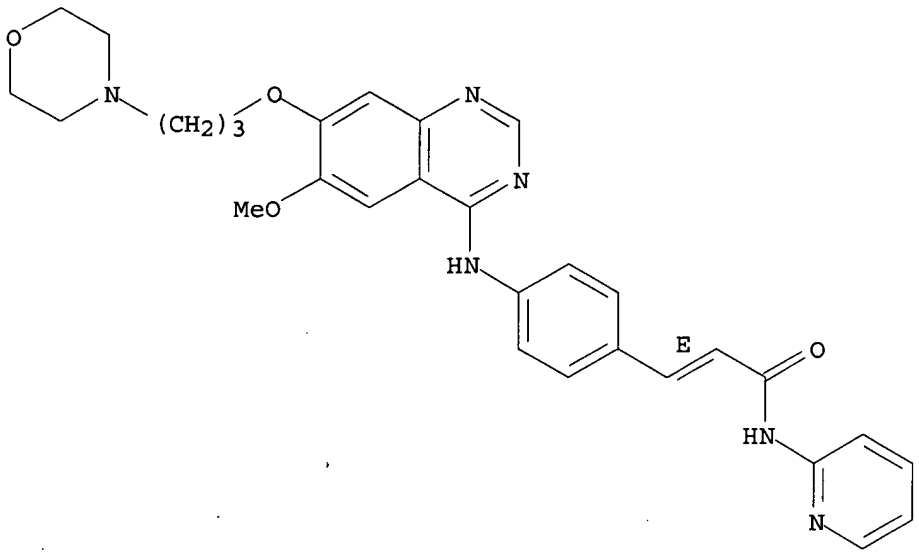
RN 331733-93-8 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-[(tetrahydro-2-furanyl)methyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



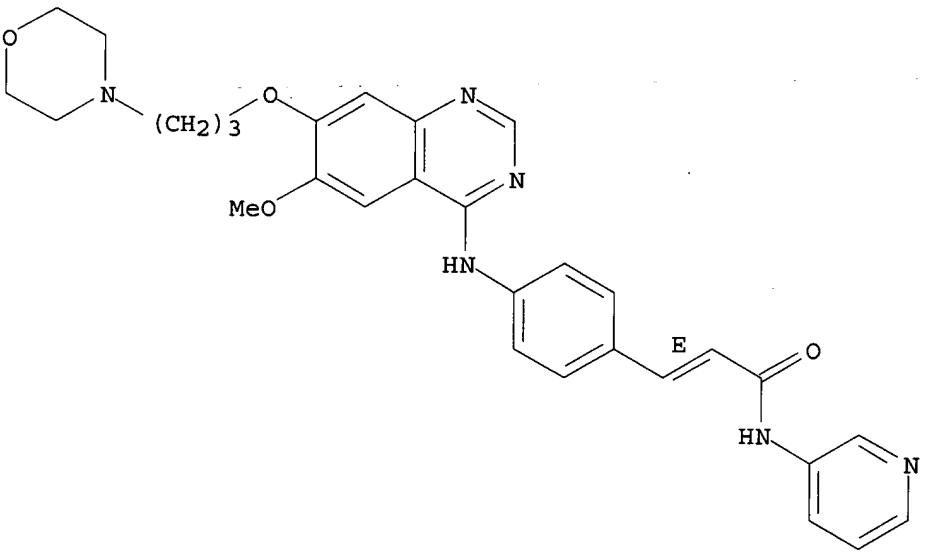
RN 331733-94-9 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-2-pyridinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



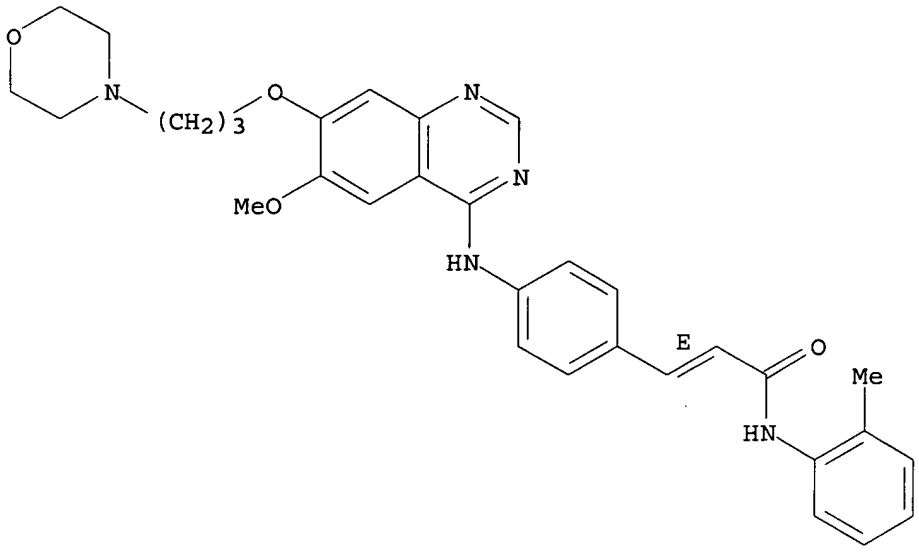
RN 331733-95-0 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-3-pyridinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



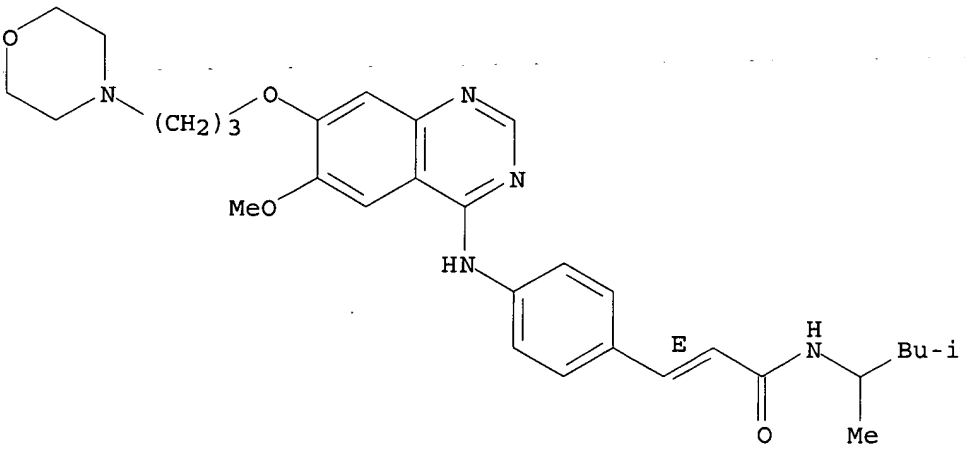
RN 331733-96-1 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-(2-methylphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



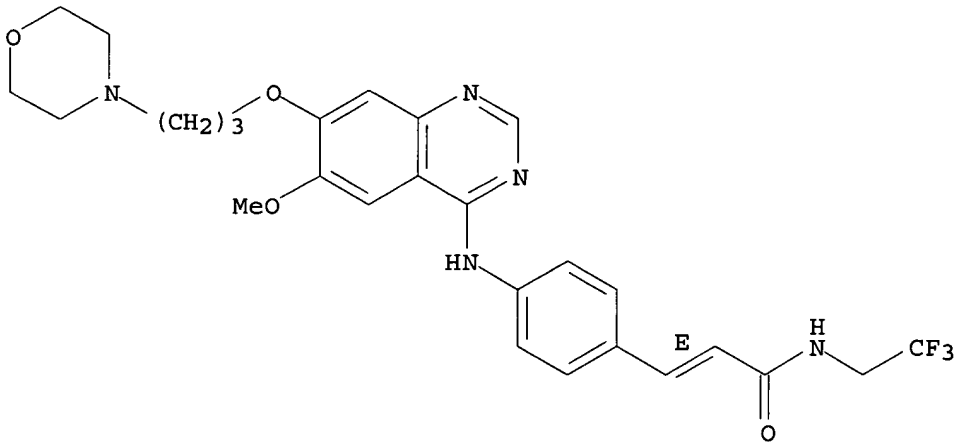
RN 331733-97-2 CAPLUS
CN 2-Propenamide, N-(1,3-dimethylbutyl)-3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



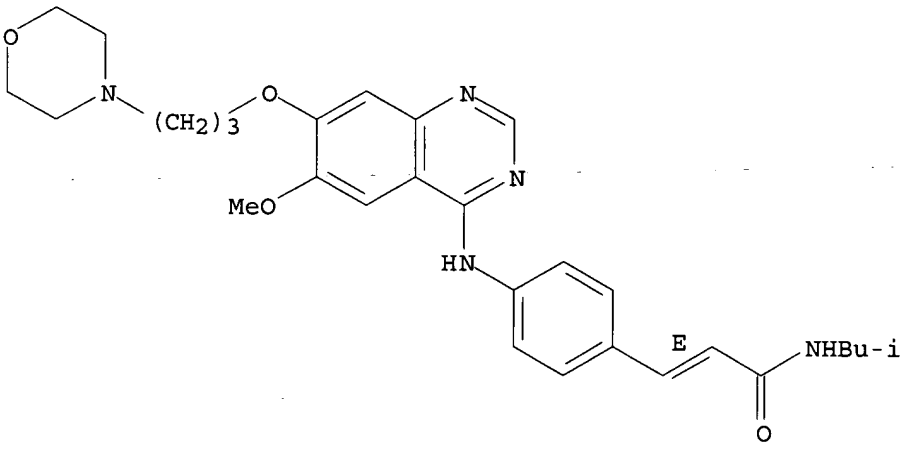
RN 331733-98-3 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-(2,2,2-trifluoroethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



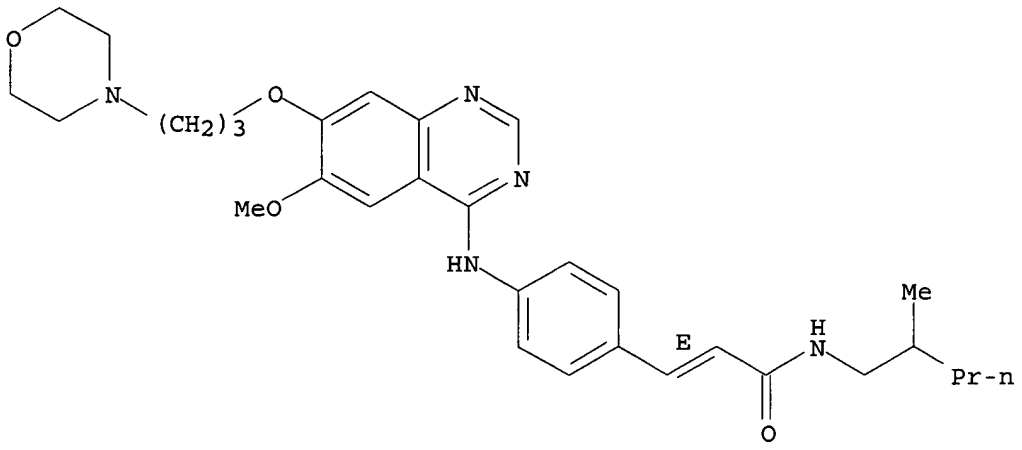
RN 331733-99-4 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-(2-methylpropyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



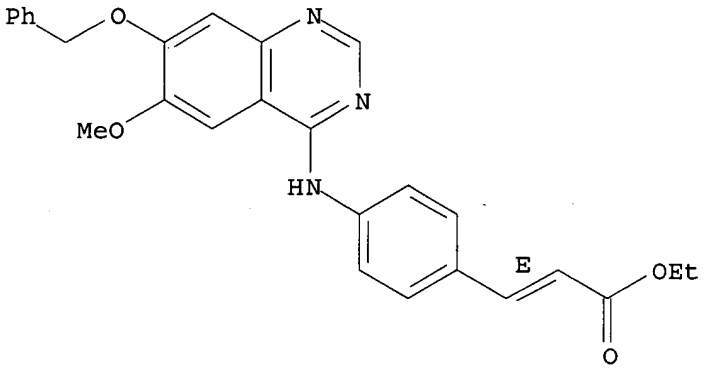
RN 331734-00-0 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-(2-methylpentyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



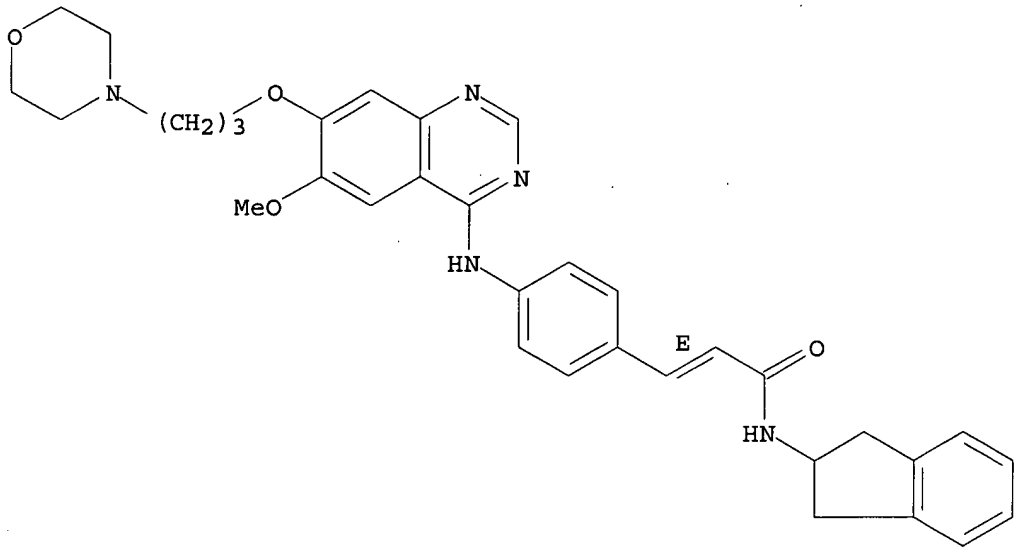
RN 331734-01-1 CAPLUS
CN 2-Propenoic acid, 3-[4-[[6-methoxy-7-(phenylmethoxy)-4-quinazolinyl]amino]phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



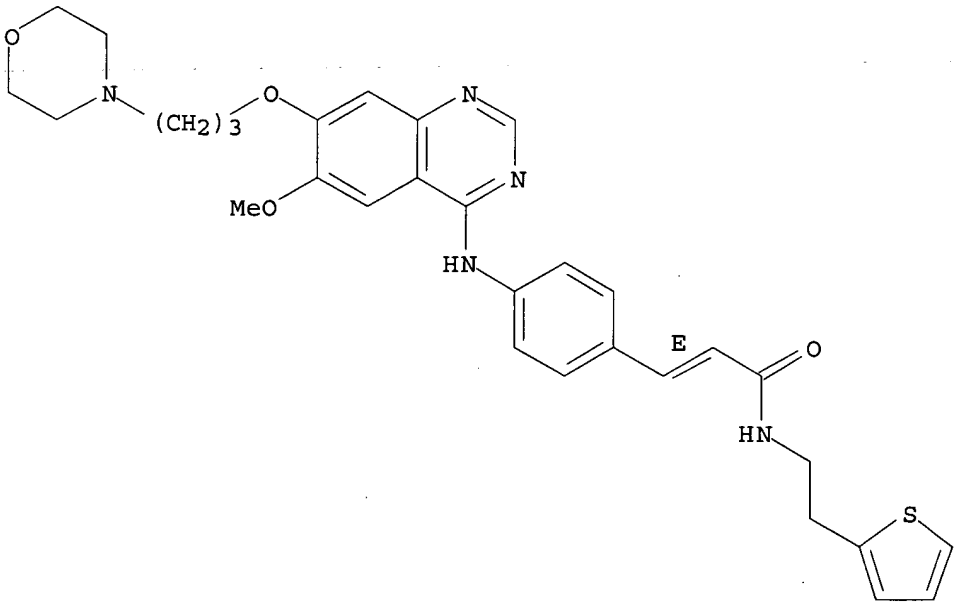
RN 331734-02-2 CAPLUS
CN 2-Propenamide, N-(2,3-dihydro-1H-inden-2-yl)-3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331734-03-3 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-[2-(2-thienyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331734-04-4 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-[(5-methyl-2-furanyl)methyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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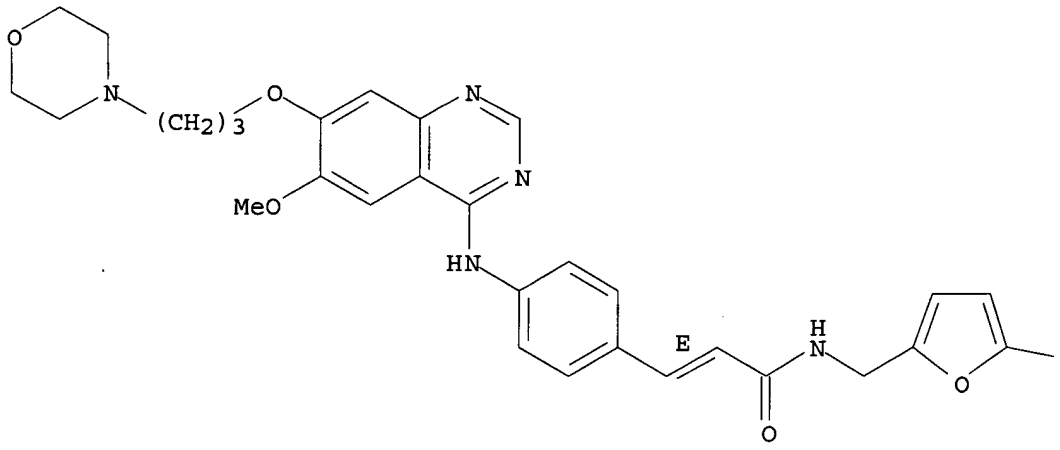

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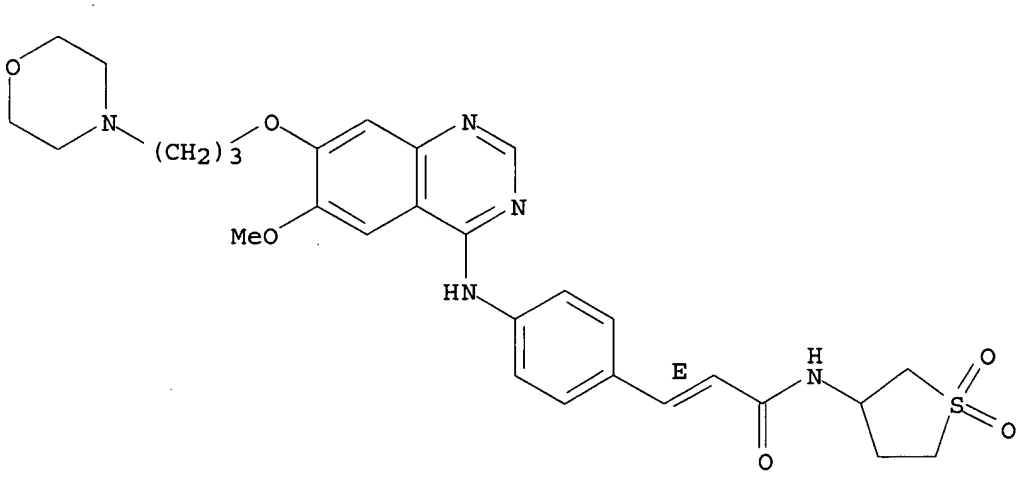
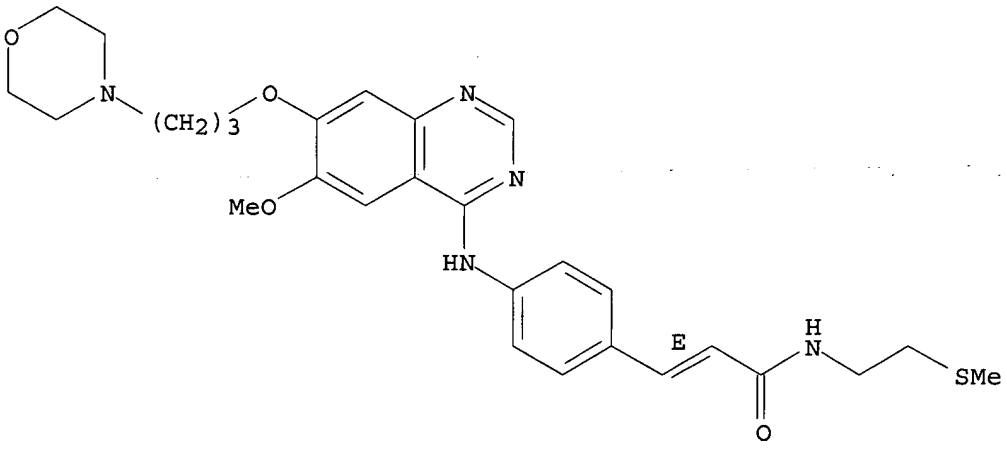
RN 331734-05-5 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-(tetrahydro-1,1-dioxido-3-thienyl)-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



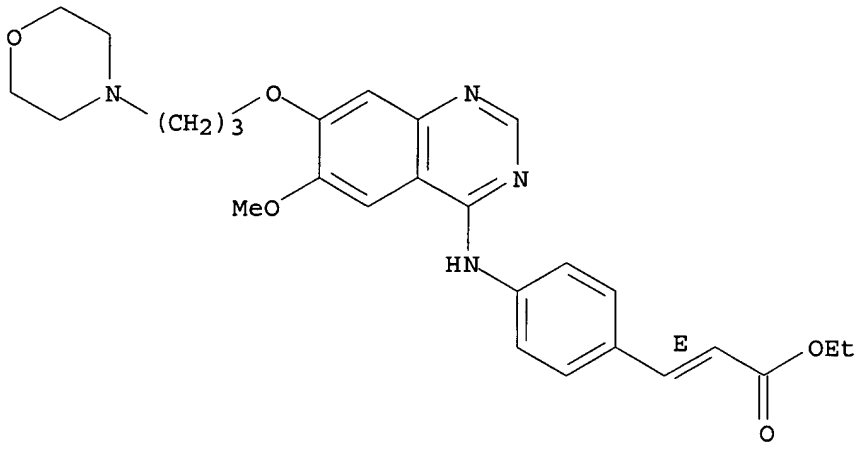
RN 331734-06-6 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-N-[2-(methylthio)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



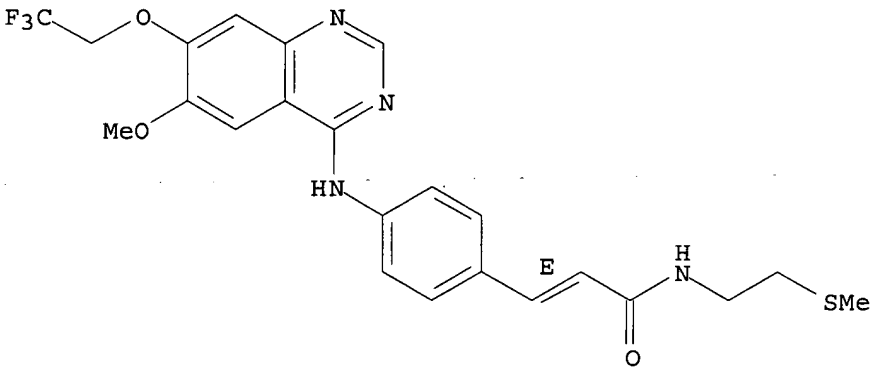
RN 331734-07-7 CAPLUS
CN 2-Propenoic acid, 3-[4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



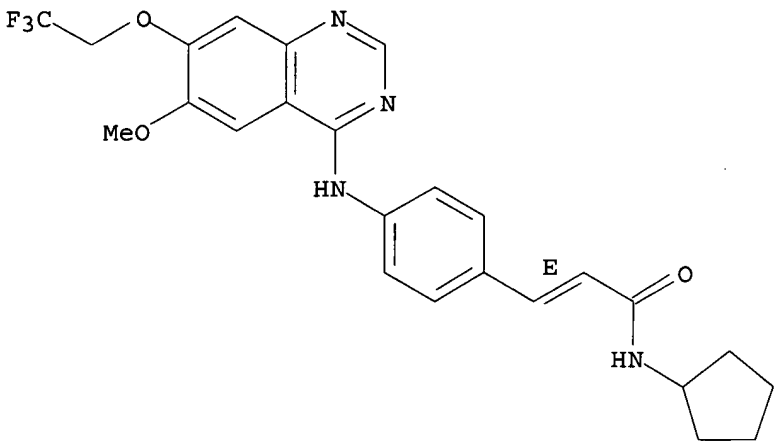
RN 331734-08-8 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-[2-(methylthio)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



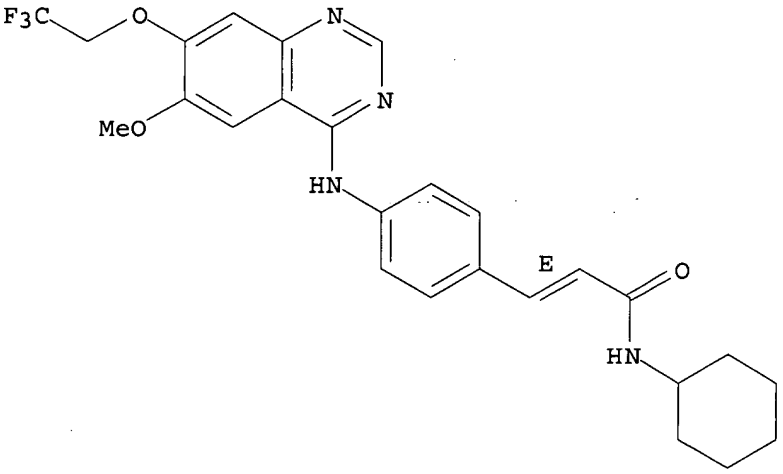
RN 331734-09-9 CAPLUS
CN 2-Propenamide, N-cyclopentyl-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



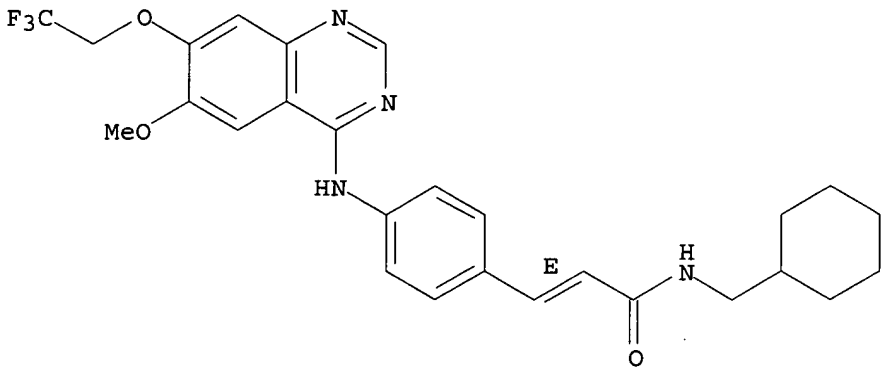
RN 331734-10-2 CAPLUS
CN 2-Propenamide, N-cyclohexyl-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



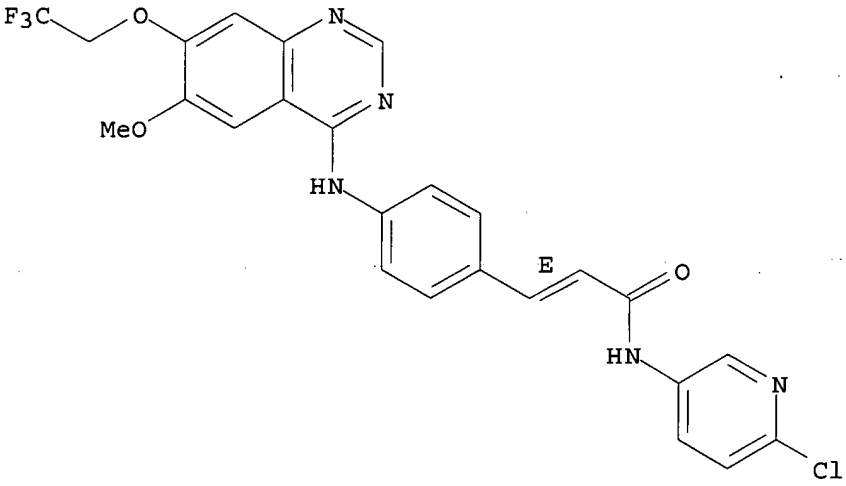
RN 331734-11-3 CAPLUS
CN 2-Propenamide, N-(cyclohexylmethyl)-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



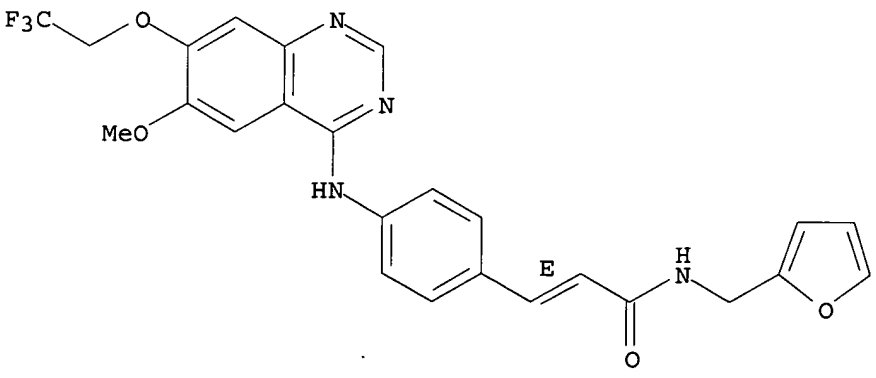
RN 331734-12-4 CAPLUS
CN 2-Propenamide, N-(6-chloro-3-pyridinyl)-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



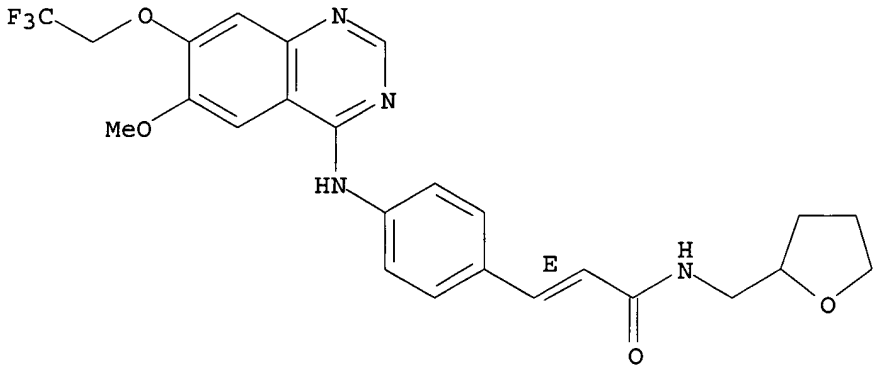
RN 331734-13-5 CAPLUS
CN 2-Propenamide, N-(2-furanylmethyl)-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



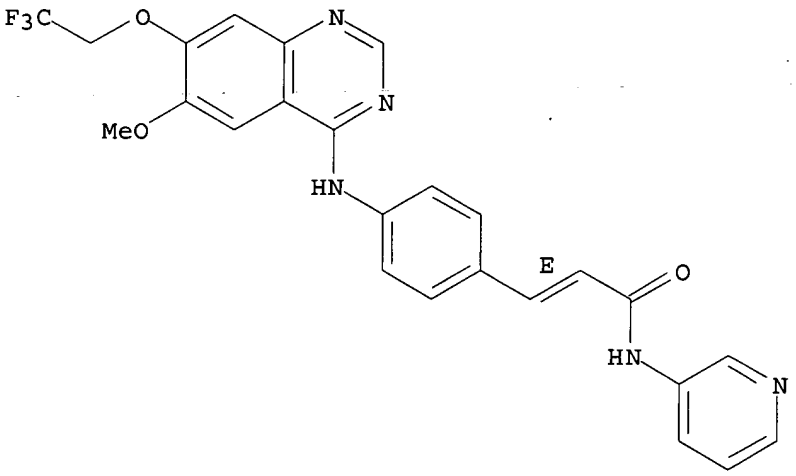
RN 331734-14-6 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-[(tetrahydro-2-furanyl)methyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



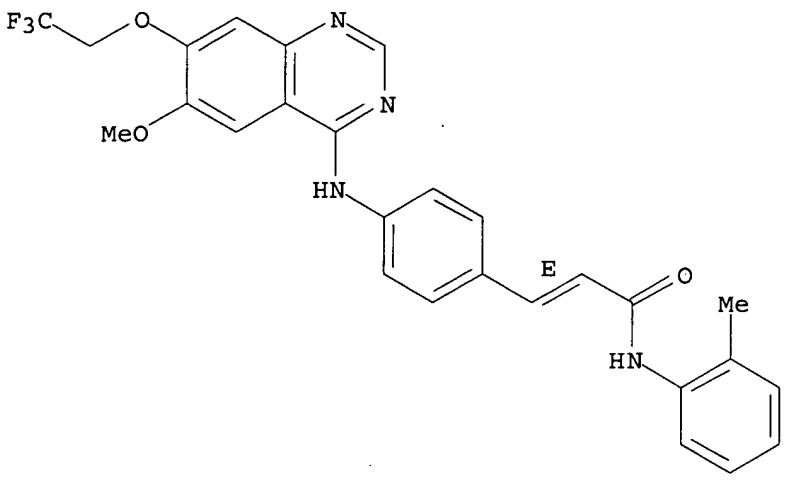
RN 331734-15-7 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-3-pyridinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



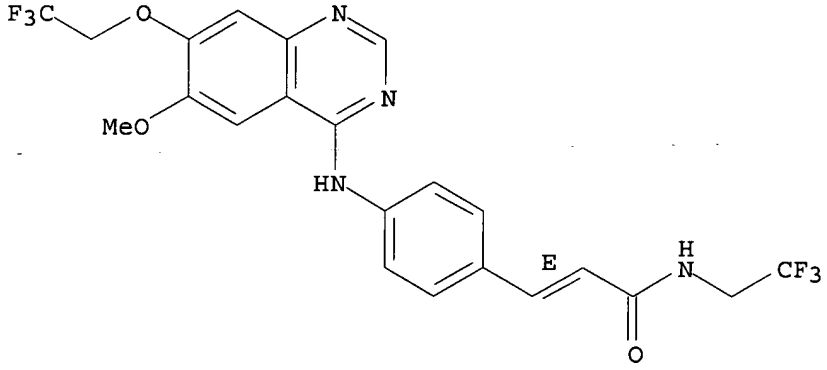
RN 331734-16-8 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(2-methylphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



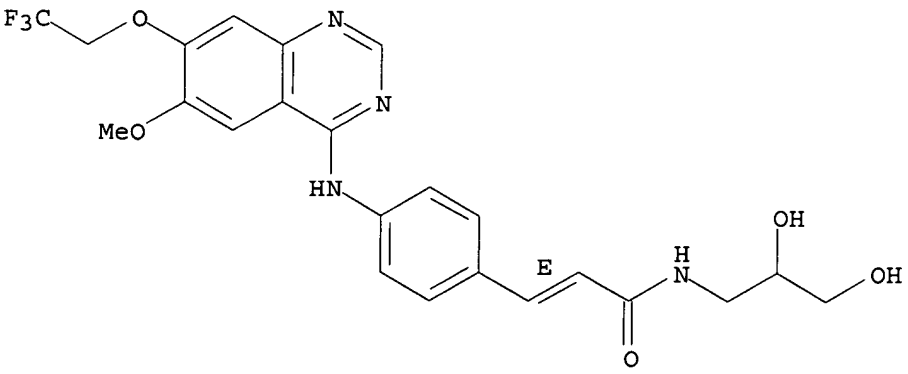
RN 331734-17-9 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(2,2,2-trifluoroethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



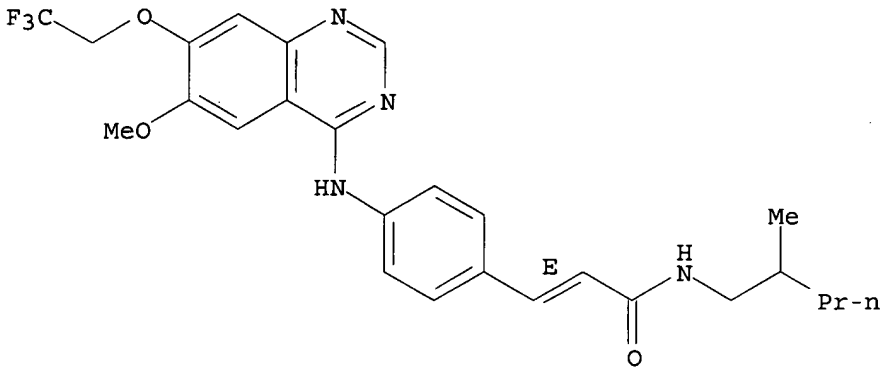
RN 331734-19-1 CAPLUS
CN 2-Propenamide, N-(2,3-dihydroxypropyl)-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



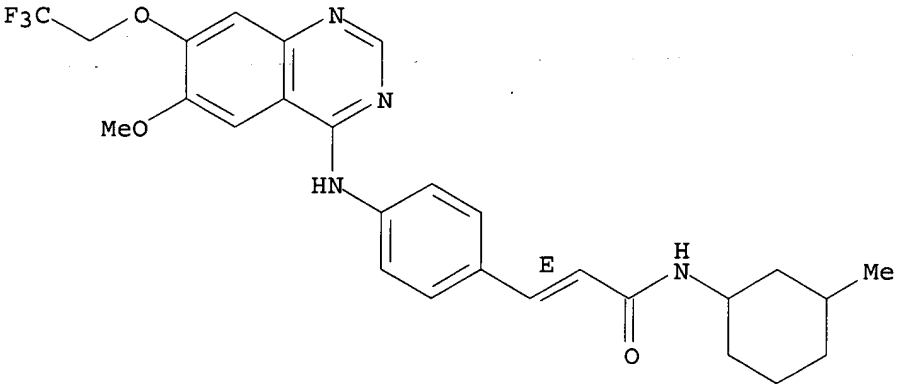
RN 331734-20-4 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(2-methylpentyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



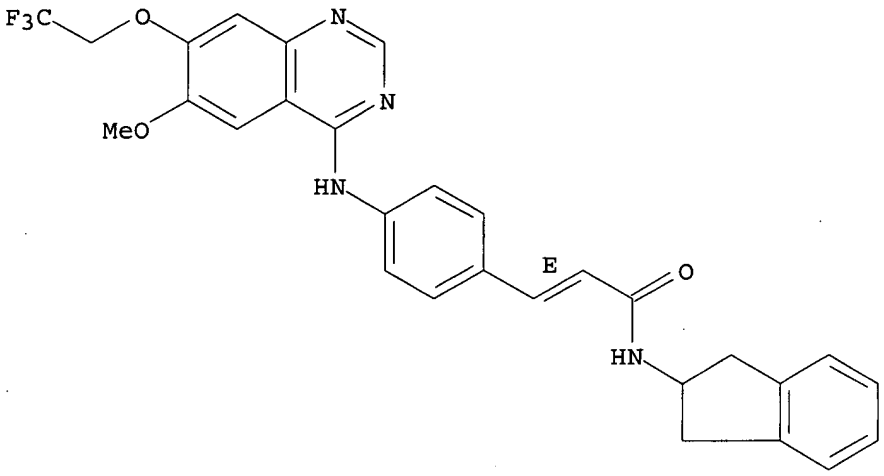
RN 331734-21-5 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(3-methylcyclohexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



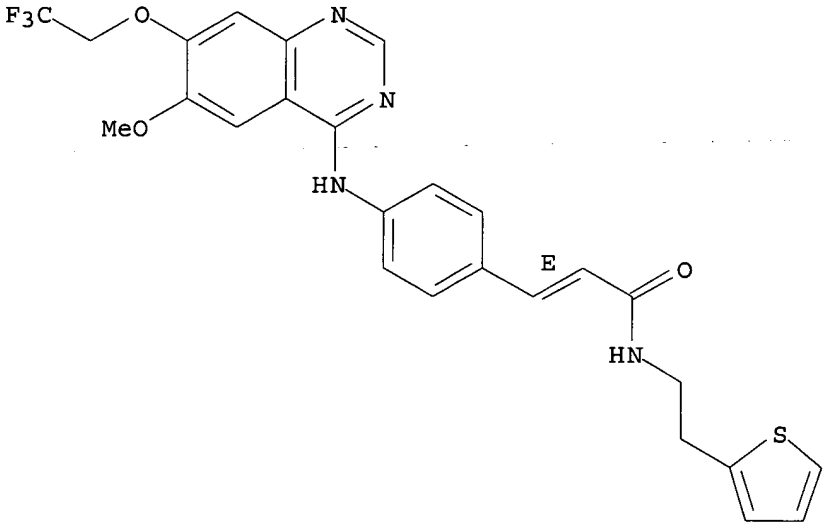
RN 331734-22-6 CAPLUS
CN 2-Propenamide, N-(2,3-dihydro-1H-inden-2-yl)-3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



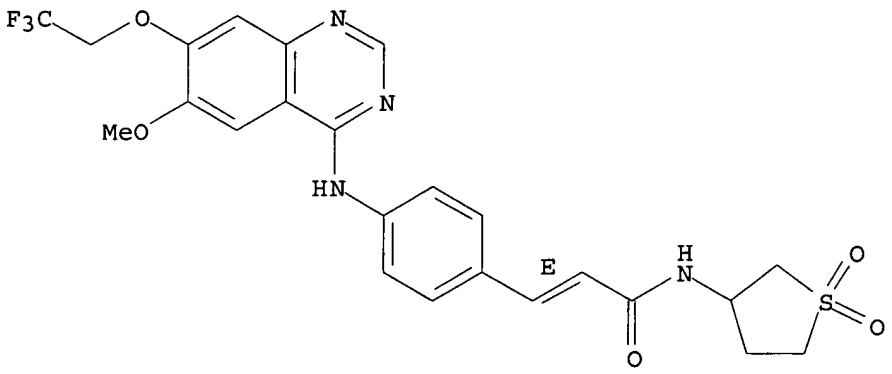
RN 331734-23-7 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-[2-(2-thienyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



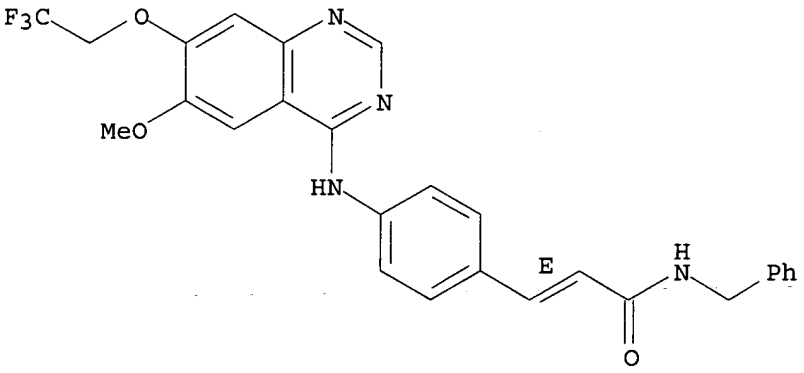
RN 331734-24-8 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(tetrahydro-1,1-dioxido-3-thienyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



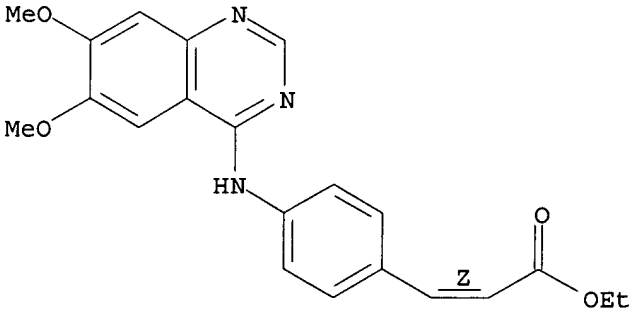
RN 331734-25-9 CAPLUS
CN 2-Propenamide, 3-[4-[[6-methoxy-7-(2,2,2-trifluoroethoxy)-4-quinazolinyl]amino]phenyl]-N-(phenylmethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



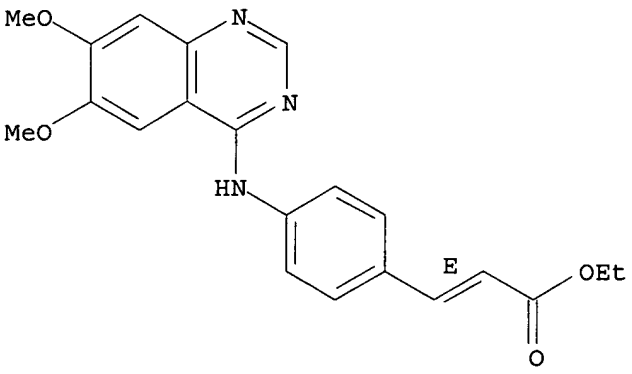
RN 331734-26-0 CAPLUS
CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, ethyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



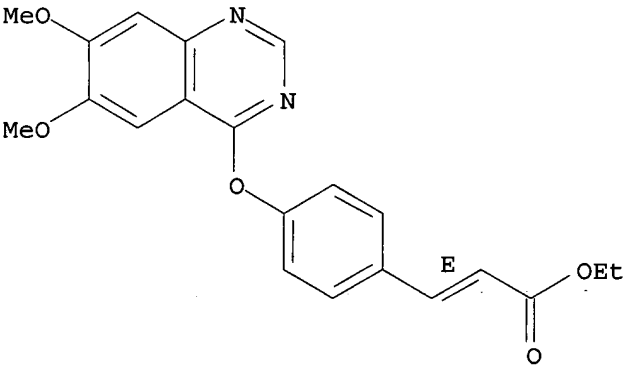
RN 331734-27-1 CAPLUS
CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 331734-28-2 CAPLUS
CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228864 CAPLUS
DOCUMENT NUMBER: 134:252355
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

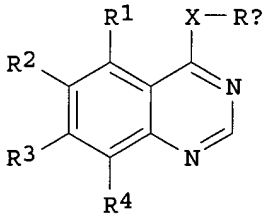
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014133	A	20020611	BR 2000-14133	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
ZA 2002001833	A	20030605	ZA 2002-1833	20020305
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320

PRIORITY APPLN. INFO.: GB 1999-22152 A 19990921
GB 1999-22156 A 19990921
GB 1999-22159 A 19990921
WO 2000-GB3556 W 20000918

OTHER SOURCE(S): MARPAT 134:252355

GI



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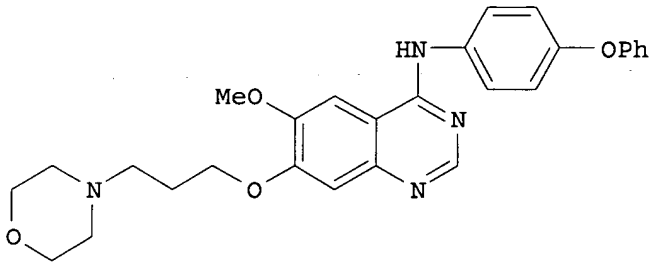


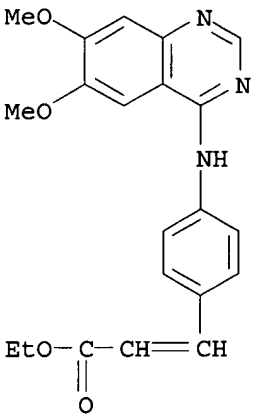
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

IT **330999-73-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 330999-73-0 CAPLUS

CN 2-Propenoic acid, 3-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

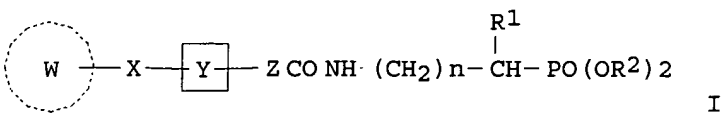


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:191092 CAPLUS
DOCUMENT NUMBER: 132:222659
TITLE: Preparation of aminoalkylphosphonic ester derivatives as cell adhesion inhibitors
INVENTOR(S): Kono, Yasushi; Sawada, Takayuki; Nomura, Masahiro; Takahashi, Yukie; Tsubuki, Takeshi; Sakoe, Yasuhiko; Kuriyama, Kazuhiko
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015645	A1	20000323	WO 1999-JP4913	19990910
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956485	A1	20000403	AU 1999-56485	19990910

PRIORITY APPLN. INFO.: JP 1998-258841 A 19980911
WO 1999-JP4913 W 19990910
OTHER SOURCE(S): MARPAT 132:222659
GI



I

10/ 088,852

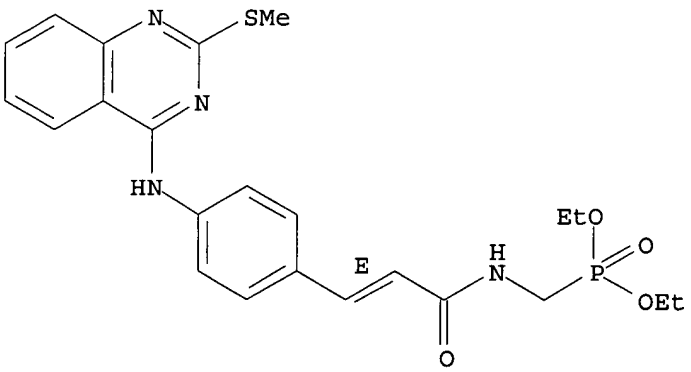
AB Phosphonic ester derivs. represented by general formula [I; W = thiazole ring, (un)substituted benzothiazole, pyridothiazole, pyridine, quinoline, pyridazine, phthalazine, quinoxaline, pyrimidine, quinazoline, thienopyrimidine, benzimidazole, purine, or indole ring; X = NH(CH2)m (wherein m = 0-2), CONH; Y = (un)substituted benzene, or naphthalene, pyridine, or quinoline, or benzofuran, coumarin, chroman, or chromanone, 1,3-thiazole ring; Z = (CH2)q (wherein q = 0-2), CH:CH, OCH2, OCMe2, SCH2, SOCH2, SO2CH2, NHCO(CH2)r (wherein r = 02); R1 = H, C1-4 alkoxycarbonyl, CO2H, C1-4 alkoxyphosphoryl; R2 = C1-4 alkyl; n = 0-2] and pharmacol. acceptable salts thereof are prepared These compds. have an activity of inhibiting a ICAM-1 or VCAM-1 mediated binding of cell adhesion mols. without inhibiting the expression of cell adhesion mols. and thus, are useful as immunosuppressants, anti-inflammatory agents, antiallergic agents and tumor metastasis inhibitors. Thus, 4'-(benzothiazol-2-yl)cinnamic acid was condensed with aminomethanephosphonic acid di-Et ester using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine and Et3N in DMF at room temperature for 10 h to give [4'-(benzothiazol-2-yl)cinnamoyl]aminomethanephosphonic di-Et ester. A title compound (II) in vitro inhibited by 88% the binding of U937 cell to human umbilical vein endothelial cells (HUVEC) which were treated with human interleukin-1β to induce ICAM-1 and VCAM-1.

IT **261616-37-9P 261616-38-0P 261616-39-1P**
261616-40-4P 261616-41-5P 261616-42-6P
261616-49-3P 261616-50-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylphosphonic ester derivs. as cell adhesion inhibitors and drugs)

RN 261616-37-9 CAPLUS

CN Phosphonic acid, [[[(2E)-3-[4-[[2-(methylthio)-4-quinazolinyl]amino]phenyl]-1-oxo-2-propenyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

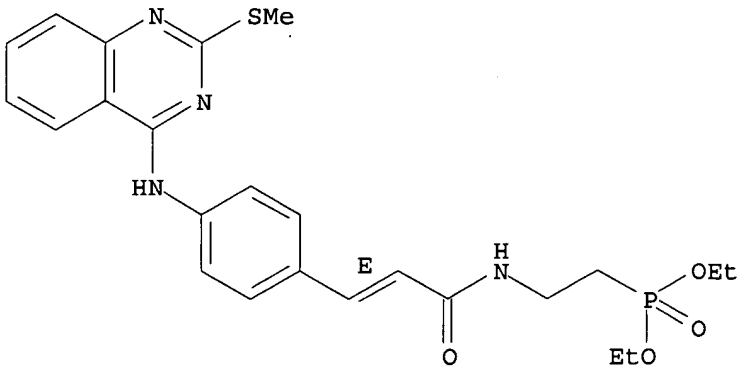
Double bond geometry as shown.



RN 261616-38-0 CAPLUS

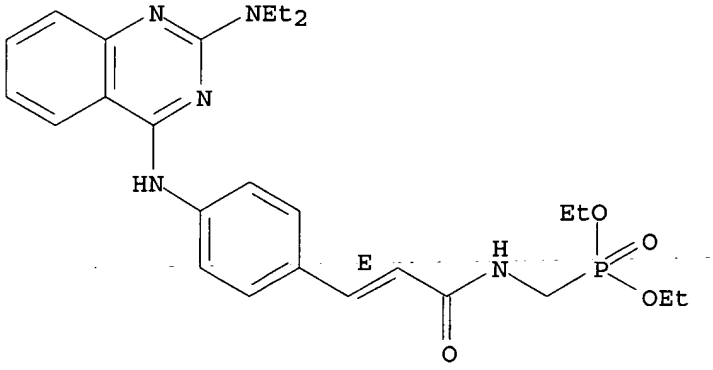
CN Phosphonic acid, [2-[[(2E)-3-[4-[[2-(methylthio)-4-quinazolinyl]amino]phenyl]-1-oxo-2-propenyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



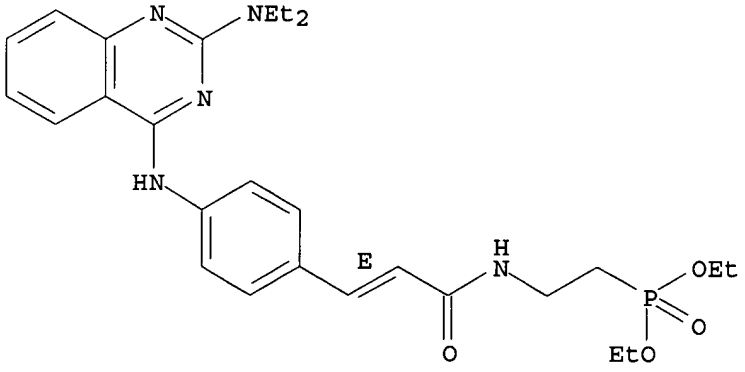
RN 261616-39-1 CAPLUS
CN Phosphonic acid, [[[(2E)-3-[4-[[2-(diethylamino)-4-quinazolinyl]amino]phenyl]-1-oxo-2-propenyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 261616-40-4 CAPLUS
CN Phosphonic acid, [2-[[(2E)-3-[4-[[2-(diethylamino)-4-quinazolinyl]amino]phenyl]-1-oxo-2-propenyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

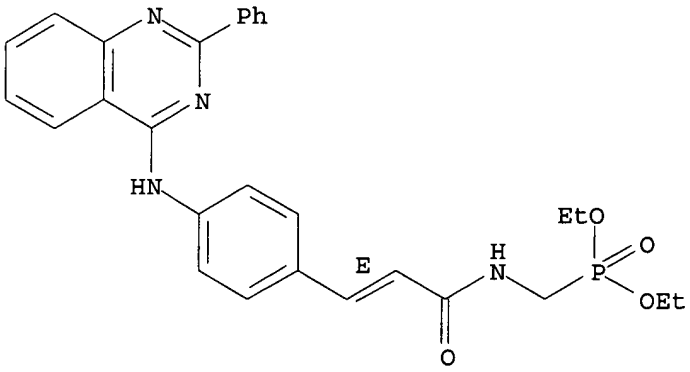


RN 261616-41-5 CAPLUS
CN Phosphonic acid, [[[(2E)-1-oxo-3-[4-[(2-phenyl-4-quinazolinyl)amino]phenyl]-2-propenyl]amino]methyl]-, diethyl ester (9CI)

10/ 088,852

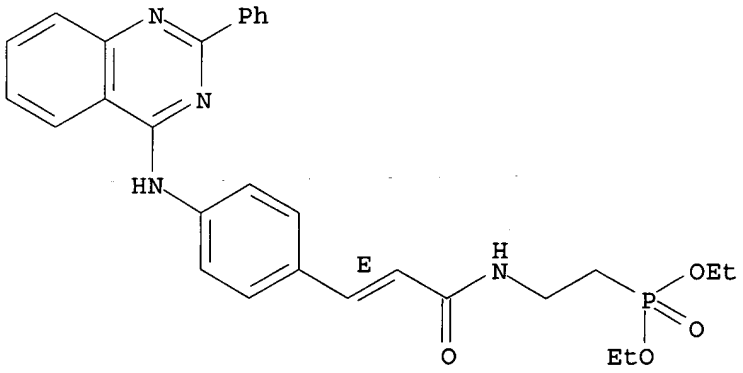
(CA INDEX NAME)

Double bond geometry as shown.



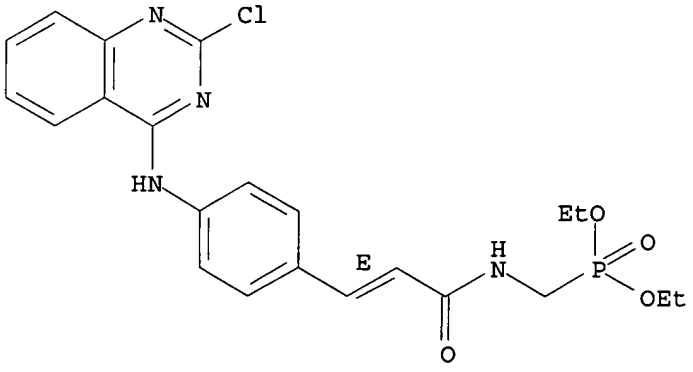
RN 261616-42-6 CAPLUS
CN Phosphonic acid, [2-[[(2E)-1-oxo-3-[4-[(2-phenyl-4-quinazolinyl)amino]phenyl]-2-propenyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 261616-49-3 CAPLUS
CN Phosphonic acid, [[[(2E)-3-[4-[(2-chloro-4-quinazolinyl)amino]phenyl]-1-oxo-2-propenyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

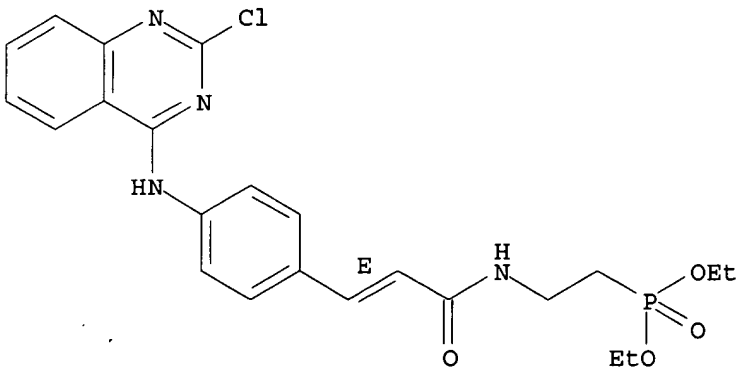


RN 261616-50-6 CAPLUS

10/ 088,852

CN Phosphonic acid, [2-[[(2E)-3-[4-[(2-chloro-4-quinazolinyl)amino]phenyl]-1-oxo-2-propenyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 17:56:44 ON 16 MAR 2004)

FILE 'REGISTRY' ENTERED AT 17:56:55 ON 16 MAR 2004
L1 STRUCTURE UPLOADED
L2 83 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:57:20 ON 16 MAR 2004
L3 5 S L2

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	24.22	179.85
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.47	-3.47

STN INTERNATIONAL LOGOFF AT 17:58:11 ON 16 MAR 2004